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NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	9	APR 28	EMBASE Controlled Term thesaurus enhanced
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NEWS	11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	17	JUN 25	CA/CAPplus and USPAT databases updated with IPC reclassification data
NEWS	18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	22	JUL 28	CA/CAPplus patent coverage enhanced
NEWS	23	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS	24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	25	JUL 28	STN Viewer performance improved
NEWS	26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS  
L1 STR  
/ Structure 1 in file .gra /

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SAMPLE SEARCH INITIATED 12:08:16 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 185 TO ITERATE

100.0% PROCESSED 185 ITERATIONS 5 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 2884 TO 4516  
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 exact full  
FULL SEARCH INITIATED 12:08:30 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 37 TO ITERATE

100.0% PROCESSED 37 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.01

L3 2 SEA EXA FUL L1

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FULL ESTIMATED COST 60.31 60.52

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FILE COVERS 1907 - 1 Aug 2008 VOL 149 ISS 5  
FILE LAST UPDATED: 30 Jul 2008 (20080730/ED)

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=> s 13

L4 3 L3

=> d 14 1-4 ibib abs hitstr

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:198173 CAPLUS <<LOGINID::20080801>>

DOCUMENT NUMBER: 140:247085

TITLE: Selective phosphodiesterase 9A inhibitors for the improvement of cognitive processes

INVENTOR(S): Boss, Frank-Gerhard; Erb, Christina; Hendrix, Martin; Van Kampen, Marja; Wunder, Frank

PATENT ASSIGNEE(S): Bayer AG, Germany

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238722	A1	20040311	DE 2002-10238722	20020823
CA 2496292	A1	20040401	CA 2003-2496292	20030811
WO 2004026286	A2	20040401	WO 2003-EP8880	20030811
WO 2004026286	A3	20040603		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003258597	A1	20040408	AU 2003-258597	20030811
EP 1534285	A2	20050601	EP 2003-797233	20030811
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006501272	T	20060112	JP 2004-536933	20030811
US 20060100222	A1	20060511	US 2005-525119	20051014
PRIORITY APPLN. INFO.:			DE 2002-10238722	A 20020823
			WO 2003-EP8880	W 20030811

AB The invention discloses the use of selective phosphodiesterase 9A inhibitors for the prodn. of drugs for the improvement of perception, concn., cognitive processes, learning and/or memory. Prepn. and activity of pyrazolopyrimidinone derivs. is included.

IT \*\*\*667400-78-4P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(phosphodiesterase 9A inhibitors for improvement of cognitive processes)

RN 667400-78-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 2 in file .gra /

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:177919 CAPLUS <<LOGINID::20080801>>

DOCUMENT NUMBER: 140:235735

TITLE: Preparation of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.

INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt, Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen, Marja

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 10238724	A1	20040304	DE 2002-10238724	20020823
CA 2496308	A1	20040401	CA 2003-2496308	20030813
WO 2004026876	A1	20040401	WO 2003-EP8979	20030813
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003251706	A1	20040408	AU 2003-251706	20030813
EP 1534713	A1	20050601	EP 2003-797239	20030813
EP 1534713	B1	20060111		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006503051	T	20060126	JP 2004-536941	20030813
ES 2256797	T3	20060716	ES 2003-797239	20030813
US 20060111372	A1	20060525	US 2005-524956	20051215
PRIORITY APPLN. INFO.:			DE 2002-10238724	A 20020823
			WO 2003-EP8979	W 20030813
OTHER SOURCE(S):	MARPAT 140:235735			
GI				

/ Structure 3 in file .gra /

AB Title compds. [I; R1 = OH, (substituted) alkyl, alkoxy, CO2R5, CONR6R7; R5 = alkyl; R6, R7 = H, aryl, alkyl; NR6R7 = 4-10 membered heterocycle; R2 = H, alkyl, alkoxy; R3 = H, alkyl; R4 = pentan-3-yl, C4-6 cycloalkyl; X = O, S], were prepd. Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (prepn. given), Me cyclohexylacetate, and NaH were refluxed 18 h in EtOH to give 31% 6-cyclohexylmethyl-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one. The latter inhibited PDE9A with IC50 = 5 nM.

IT \*\*\*667400-78-4P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.)

RN 667400-78-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 4 in file .gra /

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1962:483251 CAPLUS <<LOGINID::20080801>>

DOCUMENT NUMBER: 57:83251

ORIGINAL REFERENCE NO.: 57:16611d-i,16612a-e

TITLE: Chemotherapeutic studies in the heterocyclic series. XXXIV. Pyrazolopyrimidines. 5. A new synthesis of pyrazolo[3,4-d]pyrimidine with coronary dilating properties

AUTHOR(S): Schmidt, P.; Eichenberger, K.; Wilhelm, M.

CORPORATE SOURCE: Ciba, Basel, Switz.

SOURCE: Helvetica Chimica Acta (1962), 45, 1620-7  
CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 57:83251

AB cf. CA 53, 20070d. The condensation of 3-amino-4-carbethoxypyrazoles with nitriles led to a new synthesis of 6-(C-substituted) pyrazolo[3,4-d]pyrimidines (I) and 6-aminopyrazolo[3,4-b]pyridines. The I could be cleaved with H3PO4 to 3-aminopyrazole-4-carboxamide derivs. Many of the new I caused an increase of coronary flow. 2-Isopropyl-3-amino-4-carbethoxypyrazole (II) (19.7 g.) in 250 cc. 2N NaOH refluxed 2 hrs., cooled, treated with C, and acidified with concd. HCl to pH 3-4 gave 14.5 g. 4-CO2H analog (III) of II, m. 151-2.degree. (decompn.). III (84.5 g.) in 375 cc. dioxane and 40 cc. C5H5N treated dropwise with stirring at 10-15.degree. with 77.3 g. PhCH2COCl in 125 cc. dry dioxane, stirred 1 hr. at 10.degree. and 2 hrs. at room temp., dild. with H2O and aq. HCl, and extd. with Et2O gave 53 g. 2-isopropyl-3-phenylacetyl-amino-4-carboxypyrazole (IV), m. 162-3.degree.. IV (8.61 g.) and 30 cc. Ac2O stirred 3 hrs. at 100-10.degree. and evapd. yielded 3.1 g. 1-isopropyl-4-oxo-6-benzylpyrazolo[3,4-d]oxazine (V), m. 162-3.degree. (Me2CO-petr. ether). III (30 g.) in 180 cc. dry dioxane and 16 cc. C5H5N treated dropwise with stirring at 10-15.degree. with 31 g. PhCH2COCl in 50 cc. dioxane and processed in the usual manner gave 21 g. 4-CN analog (VI)

of IV, m. 140-2.degree. (EtOH). PhCH<sub>2</sub>CN (26.3 g.) in 250 cc. CHCl<sub>3</sub> and 13 cc. abs. EtOH satd. with dry HCl, kept overnight, evapd. below 30.degree., the residue dissolved in 200 cc. CHCl<sub>3</sub>, treated with 16.9 g. 2-isopropyl-3-amino-4-carbamoylpyrazole (VII) in 1800 cc. CHCl<sub>3</sub>, refluxed 10 hrs. with stirring, filtered, and evapd. yielded 2-isopropyl-3-(1-ethoxy-2-phenylethylidenimino)-pyrazole-4-carboxamide (VIII), m. 111-14.degree. (Et<sub>2</sub>O). II (70 g.) and 140 g. PhCH<sub>2</sub>CN added during 1 hr. with stirring at 90-5.degree. to 16.5 g. powd. Na in 300 cc. dry MePh, refluxed 7 hrs. with stirring, dild. with 240 cc. abs. EtOH, evapd., the residue dissolved in 1.2 l. N NaOH, washed with MePh, and acidified with 5N HCl to pH 5-6 gave 62.4 g. 1-isopropyl-4-oxo-6-benzyl-4,5-dihydropyrazolo [3,4 - d]pyrimidine (IX), m. 164-6.degree. (abs. EtOH); the alc. mother liquor concd., filtered, the residue (8.1 g.) shaken 0.5 hr. with 81 cc. CH<sub>2</sub>Cl<sub>2</sub>, and filtered left 4.77 g. 2-isopropyl-4-hydroxy-5-phenyl-6-aminopyrazolo[3,4-b]pyridine (X), m. 256-7.degree. (EtOH); the CH<sub>2</sub>Cl<sub>2</sub> filtrate evapd. gave 1.9 g. IX. Similarly were prepd. the following 1,6-disubstituted-4-oxo-4,5-dihydropyrazolo[3,4-d]pyrimidines (1- and 6-substituent and m.p. given): Me, PhCH<sub>2</sub>, 233-7.degree.; Me, p-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 268-70.degree.; Me, 3,4,5-(MeO)C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>, 245-6.degree.; HOCH<sub>2</sub>CH<sub>2</sub>, PhCH<sub>2</sub>, 194-5.degree.; iso-Pr, Me, 180-2.degree.; iso-Pr, Ph, 256-8.degree.; iso-Pr, PhCH<sub>2</sub>, 165-6.degree.; iso-Pr, p-EtOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 175-6.degree.; cyclopentyl, PhCH<sub>2</sub>, 189-90.degree.; cyclohexyl, PhCH<sub>2</sub>, 207-8.degree.; Ph, PhCH<sub>2</sub> (XIII), 263-5.degree.. V (5.4 g.), 50 cc. C<sub>6</sub>H<sub>6</sub>, and 15 cc. liquid NH<sub>3</sub> in a sealed tube heated 8 hrs. at 100-10.degree., treated with 2N NaOH, and the aq. phase acidified with 6N HCl to pH 6 gave 0.7 g. IX. VI (6.7g.) and 27.2 cc. 10% aq. KOH in 102 cc. 3% H<sub>2</sub>O<sub>2</sub> heated 10 hrs. at 70.degree., filtered, and acidified with 2N HCl to pH 5 yielded 6.12 g. IX, m. 163-5.degree.. Crude VIII from 26.3 g. PhCH<sub>2</sub>CN and 16.9 g. VII added to 18 g. Na in 315 cc. MeOH, kept overnight, refluxed 0.5 hr., filtered, evapd., the residue shaken with 200 cc. H<sub>2</sub>O and 200 cc. CHCl<sub>3</sub>, and the aq. phase acidified with 5N HCl gave 16.6 g. IX. VII (8.4 g.) and 27 g. PhCH<sub>2</sub>CONH<sub>2</sub> heated 4 hrs. at 200-10.degree., cooled, powdered, extd. with 2N NaOH, and the alk. ext. acidified with 2N HCl to pH 3 yielded 3.2 g. IX, m. 165-6.degree. (EtOH). II (39.4 g.) in 150 cc. dry dioxane and 16 cc. C<sub>5</sub>H<sub>5</sub>N treated with stirring at 10-15.degree. during 15 min. with 31 g. PhCH<sub>2</sub>COCl in 50 cc. dioxane, stirred 1 hr. at 10.degree. and 2 hrs. at room temp., treated with 130 cc. 2N HCl and 380 cc. H<sub>2</sub>O, and extd. with about 1000 cc. Et<sub>2</sub>O yielded 33 g. 2-isopropyl-3-phenylacetyl-amino-4-carbethoxypyrazole (XIV), b<sub>0.08</sub> 170-5.degree.. NaNO<sub>2</sub> (7 g.) and 26.8 g. X added successively with stirring at 0-5.degree. to 268 cc. concd. H<sub>2</sub>SO<sub>4</sub>, stirred 3 hrs. at 0-5.degree., cooled, poured onto ice, heated with stirring to 80.degree., cooled, filtered, the residue (about 20 g.) treated with 400 cc. satd. aq. NaHCO<sub>3</sub> and 400 cc. H<sub>2</sub>O, filtered, and the filtrate acidified with 2N HCl to pH 3-4 yielded 16.8 g. 1-isopropyl-4-hydroxy-5-phenyl- 6-oxo-4,5-dihydropyrazolo[3,4-b]pyridine (XV), m. 322-4.degree. (EtOH). XIV (10 g.) and 2 g. Na in 150 cc. MePh refluxed 5 hrs. with stirring, cooled to room temp., treated with EtOH, evapd., the residue dissolved in H<sub>2</sub>O, washed with Et<sub>2</sub>O, and acidified with 2N HCl gave 2.3 g. XV, m. 322-4.degree. (aq. EtOH). XIII (15 g.) and 100 cc. POCl<sub>3</sub> refluxed 6 hrs., evapd., the residue dissolved in CHCl<sub>3</sub>, and worked up gave 7.2 g. 1-phenyl-4-chloro-6-benzylpyrazolo[3,4-d]pyrimidine (XVI), m. 90-1.degree. (CHCl<sub>3</sub>-petr. ether). XVI (7 g.) and 25 g. Me<sub>2</sub>NH in 50 cc. EtOH heated 7 hrs. at 100.degree. in an autoclave gave 4.3 g. 4-Me<sub>2</sub>N analog of XVI, m. 121-2.degree. (EtOH). IX (13.4 g.) and 1.15 g. Na in 300 cc. EtOH stirred 1 hr. at room temp., treated with 5.5 g. Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl, refluxed 4 hrs., evapd., the residue dissolved in 100 cc. N HCl, washed with Et<sub>2</sub>O, basified to pH 10 with aq. NaOH, and extd. with

Et2O yielded 13 g. 5-Me2NCH2CH2 deriv. (XVII) of IX, m. 115-17.degree. (petr. ether). XVII (10 g.) and 35 cc. 85% H3PO4 stirred 6 hrs. at 100.degree., poured onto 300 g. ice, adjusted with aq. NaOH to pH 10, filtered, and extd. with CHCl3 gave 6 g. 2-isopropyl-3-aminopyrazole-4-carboxylic acid 2-dimethylaminoethylamide, m. 131-2.degree. (iso-Pr2O).

IT \*\*\*97433-46-0P\*\*\* , 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-benzyl-1-cyclopentyl-1,5-dihydro-  
RL: PREP (Preparation)  
(prepn. of)  
RN 97433-46-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-benzyl-1-cyclopentyl-1,5-dihydro-  
(7CI) (CA INDEX NAME)

/ Structure 5 in file .gra /

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	17.31	77.83
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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STRUCTURE FILE UPDATES: 30 JUL 2008 HIGHEST RN 1037244-07-7  
DICTIONARY FILE UPDATES: 30 JUL 2008 HIGHEST RN 1037244-07-7

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=> s ll sss sam

SAMPLE SEARCH INITIATED 12:10:16 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 185 TO ITERATE

100.0% PROCESSED 185 ITERATIONS 5 ANSWERS



SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 2884 TO 4516  
PROJECTED ANSWERS: 5 TO 234

L5 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:10:20 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3867 TO ITERATE

100.0% PROCESSED 3867 ITERATIONS 51 ANSWERS  
SEARCH TIME: 00.00.01

L6 51 SEA SSS FUL L1

=> file cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	256.19
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.40

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FILE COVERS 1907 - 1 Aug 2008 VOL 149 ISS 5  
FILE LAST UPDATED: 30 Jul 2008 (20080730/ED)

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<http://www.cas.org/legal/infopolicy.html>

=> s l6

L7 8 L6

=> d 17 1-8 ibib abs hitstr

L7 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:934326 CAPLUS <<LOGINID::20080801>>  
DOCUMENT NUMBER: 141:395571  
TITLE: Preparation of pyrazolopyrimidinones as  
phosphodiesterase 9 (PDE9) inhibitors for treating  
type 2 diabetes, metabolic syndrome, and  
cardiovascular disease.  
INVENTOR(S): Bell, Andrew Simon; Deninno, Michael Paul; Palmer,  
Michael John; Visser, Michael Scott  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 26 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040220186	A1	20041104	US 2004-828485	20040420
WO 2004096811	A1	20041111	WO 2004-IB1796	20040421
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
NL 1026091	A1	20041102	NL 2004-1026091	20040429
NL 1026091	C2	20050526		
PRIORITY APPLN. INFO.:			US 2003-466639P	P 20030430
			US 2004-828485	A 20040420
OTHER SOURCE(S):	MARPAT 141:395571			
GI				

/ Structure 6 in file .gra /

AB Title compds. [I; A = Q1, Q2, etc.; P = atoms to form (substituted) cycloalkyl, heterocycloalkyl, aryl, heteroaryl rings; J = O, S, NR15, NR15CO, NR15SO2; R10 = CO2H, CONR30R31, NR15SO2R40; R1, R2, R15 = H, alkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl, heterocycloalkyl, heterocycloalkylmethyl, aryl, heteroaryl; R30, R31 = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; R30R31N = (substituted) 5-8 membered heterocyclyl; R40 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; n = 1-3], were prepd. Thus, Et 1-[[2-(3-isopropyl-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-5-ylmethyl)phenoxy]acetyl]pyrrolidine-2-carboxylate was heated with aq. NaOH

in MeOH for 2 h at 58.degree. to give after acidification with HCl  
1-[[2-(3-isopropyl-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-5-ylmethyl)phenoxy]acetyl]pyrrolidine-2-carboxylic acid. Some compds.  
inhibited PDE9 with IC50 <50 nM.

IT      \*\*\*787618-74-0P\*\*\*      \*\*\*787618-76-2P\*\*\*      \*\*\*787618-84-2P\*\*\*  
         \*\*\*787618-85-3P\*\*\*      \*\*\*787618-86-4P\*\*\*      \*\*\*787618-87-5P\*\*\*  
         \*\*\*787618-88-6P\*\*\*      \*\*\*787618-89-7P\*\*\*      \*\*\*787618-90-0P\*\*\*  
         \*\*\*787618-92-2P\*\*\*      \*\*\*787618-97-7P\*\*\*      \*\*\*787619-14-1P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(claimed compd.; prepn. of pyrazolopyrimidinones as PDE9 inhibitors for  
treating type 2 diabetes, metabolic syndrome, and cardiovascular  
disease)

RN      787618-74-0      CAPLUS

CN      L-Proline, 1-[[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-  
d]pyrimidin-6-yl)methyl]phenoxy]acetyl]- (9CI)      (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 7 in file .gra /

RN      787618-76-2      CAPLUS

CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[[2-[2-oxo-  
2-(1-piperazinyl)ethoxy]phenyl]methyl]- (CA INDEX NAME)

/ Structure 8 in file .gra /

RN      787618-84-2      CAPLUS

CN      L-Proline, 1-[[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-  
d]pyrimidin-6-yl)methyl]phenoxy]acetyl]-, methyl ester (9CI)      (CA INDEX  
NAME)

Absolute stereochemistry.

/ Structure 9 in file .gra /

RN      787618-85-3      CAPLUS

CN      1-Piperazinecarboxylic acid, 4-[2-[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-  
pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]acetyl]-, 1,1-dimethylethyl  
ester (CA INDEX NAME)

/ Structure 10 in file .gra /

RN      787618-86-4      CAPLUS

CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[[2-[2-oxo-  
2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (CA INDEX NAME)

/ Structure 11 in file .gra /

RN      787618-87-5      CAPLUS

CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[[2-[2-(4-  
morpholinyl)-2-oxoethoxy]phenyl]methyl]- (CA INDEX NAME)

/ Structure 12 in file .gra /

RN 787618-88-6 CAPLUS  
CN Acetamide, 2-[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

/ Structure 13 in file .gra /

RN 787618-89-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[[2-[2-(4-ethyl-1-piperazinyl)-2-oxoethoxy]phenyl]methyl]-1,5-dihydro- (CA INDEX NAME)

/ Structure 14 in file .gra /

RN 787618-90-0 CAPLUS  
CN Acetamide, 2-[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]-N,N-diethyl- (CA INDEX NAME)

/ Structure 15 in file .gra /

RN 787618-92-2 CAPLUS  
CN Acetic acid, 2-[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]- (CA INDEX NAME)

/ Structure 16 in file .gra /

RN 787618-97-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[[5-fluoro-2-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-1,5-dihydro- (CA INDEX NAME)

/ Structure 17 in file .gra /

RN 787619-14-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[[2-[2-(4-morpholinyl)ethoxy]phenyl]methyl]- (CA INDEX NAME)

/ Structure 18 in file .gra /

IT \*\*\*787619-25-4P\*\*\* \*\*\*787619-37-8P\*\*\*  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyrazolopyrimidinones as PDE9 inhibitors for treating type 2 diabetes, metabolic syndrome, and cardiovascular disease)  
RN 787619-25-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[[5-fluoro-2-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-1,5-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

/ Structure 19 in file .gra /

RN 787619-37-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[[2-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

/ Structure 20 in file .gra /

L7 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:198173 CAPLUS <<LOGINID::20080801>>

DOCUMENT NUMBER: 140:247085

TITLE: Selective phosphodiesterase 9A inhibitors for the improvement of cognitive processes

INVENTOR(S): Boss, Frank-Gerhard; Erb, Christina; Hendrix, Martin; Van Kampen, Marja; Wunder, Frank

PATENT ASSIGNEE(S): Bayer AG, Germany

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238722	A1	20040311	DE 2002-10238722	20020823
CA 2496292	A1	20040401	CA 2003-2496292	20030811
WO 2004026286	A2	20040401	WO 2003-EP8880	20030811
WO 2004026286	A3	20040603		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003258597	A1	20040408	AU 2003-258597	20030811
EP 1534285	A2	20050601	EP 2003-797233	20030811
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006501272	T	20060112	JP 2004-536933	20030811
US 20060100222	A1	20060511	US 2005-525119	20051014
PRIORITY APPLN. INFO.:			DE 2002-10238722	A 20020823
			WO 2003-EP8880	W 20030811

AB The invention discloses the use of selective phosphodiesterase 9A inhibitors for the prodn. of drugs for the improvement of perception, concn., cognitive processes, learning and/or memory. Prepn. and activity of pyrazolopyrimidinone derivs. is included.

IT \*\*\*667400-78-4P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(phosphodiesterase 9A inhibitors for improvement of cognitive processes)

RN 667400-78-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 21 in file .gra /

L7 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:182883 CAPLUS <<LOGINID::20080801>>

DOCUMENT NUMBER: 140:217660

TITLE: Preparation of 6-benzylpyrazolo[3,4-d]pyrimidin-4-ones as phosphodiesterase-9A (PDE9A) inhibitors.

INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt, Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen, Marja

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2004018474	A1	20040304	WO 2003-EP8923	20030812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10238723	A1	20040311	DE 2002-10238723	20020823
CA 2496194	A1	20040304	CA 2003-2496194	20030812
AU 2003258601	A1	20040311	AU 2003-258601	20030812
EP 1534711	A1	20050601	EP 2003-792301	20030812
EP 1534711	B1	20060419		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006507242	T	20060302	JP 2004-530129	20030812
ES 2263057	T3	20061201	ES 2003-792301	20030812
US 20060106035	A1	20060518	US 2005-525115	20050831
PRIORITY APPLN. INFO.:			DE 2002-10238723	A 20020823
			WO 2003-EP8923	W 20030812
OTHER SOURCE(S):	MARPAT 140:217660			
GI				

/ Structure 22 in file .gra /

AB Title compds. (I; R1 = Ph substituted by 1-5 halo, alkyl, CF3, OCF3, cyano, OH, NO2, alkoxy; R2 = pentan-3-yl, C4-6 cycloalkyl; X = O, S), were prepd. for improvement of perception, concn., learning and/or memory (no data). Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (prepn. given) and Et 3-chlorophenylacetate in EtOH at 0.degree. were treated slowly with NaH followed by slow warming and then 18 h reflux to give 81% 6-(3-chlorobenzyl)-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one.

IT \*\*\*666235-19-4P\*\*\*      \*\*\*666235-20-7P\*\*\*      \*\*\*666235-21-8P\*\*\*  
\*\*\*666235-22-9P\*\*\*      \*\*\*666235-23-0P\*\*\*      \*\*\*666235-24-1P\*\*\*  
\*\*\*666235-26-3P\*\*\*      \*\*\*666235-30-9P\*\*\*      \*\*\*666235-32-1P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzylpyrazolopyrimidones as phosphodiesterase-9A (PDE9A) inhibitors)

RN 666235-19-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3-chlorophenyl)methyl]-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 23 in file .gra /

RN 666235-20-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[(2-fluorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)

/ Structure 24 in file .gra /

RN 666235-21-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3-bromophenyl)methyl]-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 25 in file .gra /

RN 666235-22-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)

/ Structure 26 in file .gra /

RN 666235-23-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[(3,5-dichlorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)

/ Structure 27 in file .gra /

RN 666235-24-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[(2,3-

dichlorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)

/ Structure 28 in file .gra /

RN 666235-26-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[(3-methylphenyl)methyl]- (CA INDEX NAME)

/ Structure 29 in file .gra /

RN 666235-30-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[(3-nitrophenyl)methyl]- (CA INDEX NAME)

/ Structure 30 in file .gra /

RN 666235-32-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)

/ Structure 31 in file .gra /

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:177919 CAPLUS <<LOGINID::20080801>>

DOCUMENT NUMBER: 140:235735

TITLE: Preparation of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.

INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt, Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen, Marja

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238724	A1	20040304	DE 2002-10238724	20020823
CA 2496308	A1	20040401	CA 2003-2496308	20030813
WO 2004026876	A1	20040401	WO 2003-EP8979	20030813

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,



KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2003251706 A1 20040408 AU 2003-251706 20030813  
 EP 1534713 A1 20050601 EP 2003-797239 20030813  
 EP 1534713 B1 20060111  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 JP 2006503051 T 20060126 JP 2004-536941 20030813  
 ES 2256797 T3 20060716 ES 2003-797239 20030813  
 US 20060111372 A1 20060525 US 2005-524956 20051215  
 PRIORITY APPLN. INFO.: DE 2002-10238724 A 20020823  
 WO 2003-EP8979 W 20030813  
 OTHER SOURCE(S): MARPAT 140:235735  
 GI

/ Structure 32 in file .gra /

AB Title compds. [I; R1 = OH, (substituted) alkyl, alkoxy, CO2R5, CONR6R7; R5  
 = alkyl; R6, R7 = H, aryl, alkyl; NR6R7 = 4-10 membered heterocycle; R2 =  
 H, alkyl, alkoxy; R3 = H, alkyl; R4 = pentan-3-yl, C4-6 cycloalkyl; X = O,  
 S], were prepd. Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide  
 (prepn. given), Me cyclohexylacetate, and NaH were refluxed 18 h in EtOH  
 to give 31% 6-cyclohexylmethyl-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-  
 d]pyrimidin-4-one. The latter inhibited PDE9A with IC50 = 5 nM.  
 IT \*\*\*667400-78-4P\*\*\* \*\*\*667870-22-6P\*\*\*  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (prepn. of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.)  
 RN 667400-78-4 CAPLUS  
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-cyclopentyl-1,5-  
 dihydro- (CA INDEX NAME)

/ Structure 33 in file .gra /

RN 667870-22-6 CAPLUS  
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[(4-  
 methylcyclohexyl)methyl]- (CA INDEX NAME)

/ Structure 34 in file .gra /

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:122770 CAPLUS <<LOGINID::20080801>>  
 DOCUMENT NUMBER: 136:178015  
 TITLE: Drugs for incontinence - salified and nonsalified  
 nitric oxide-donors and phosphodiesterase inhibitors  
 INVENTOR(S): Del Soldato, Piero; Benedini, Francesca  
 PATENT ASSIGNEE(S): Nicox S.A., Fr.  
 SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011707	A2	20020214	WO 2001-EP8734	20010727
WO 2002011707	A3	20021205		
W:	AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
IT 2000MI1848	A1	20020208	IT 2000-MI1848	20000808
IT 1318674	B1	20030827		
AU 2001091691	A	20020218	AU 2001-91691	20010727
EP 1307184	A2	20030507	EP 2001-971798	20010727
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004511436	T	20040415	JP 2002-517044	20010727
US 20030203899	A1	20031030	US 2003-343330	20030206
PRIORITY APPLN. INFO.:			IT 2000-MI1848	A 20000808
			WO 2001-EP8734	W 20010727

OTHER SOURCE(S): MARPAT 136:178015

AB Use in the incontinence of one or more of the following classes of drugs selected from the following: (B) salified and nonsalified nitric oxide-donor drugs, of formula: A - X1 - N(O)z, (B') nitrate salts of drugs used for the incontinence, and which do not contain in the mol. a nitric oxide donor group; (C) org. or inorg. salts of compds. inhibiting phosphodiesterases.

IT \*\*\*182878-83-7\*\*\*

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(salified and nonsalified nitric oxide-donors and phosphodiesterase inhibitors for treatment of incontinence)

RN 182878-83-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 35 in file .gra /

L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:122769 CAPLUS <<LOGINID::20080801>>

DOCUMENT NUMBER: 136:189342

TITLE: Drugs for treatment of sexual dysfunction

INVENTOR(S): Del Soldato, Piero

PATENT ASSIGNEE(S): Nicox S.A., Fr.

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011706	A2	20020214	WO 2001-EP8733	20010727
WO 2002011706	A3	20030918		
W:	AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
IT 2000MI1847	A1	20020208	IT 2000-MI1847	20000808
IT 1318673	B1	20030827		
AU 2001091690	A	20020218	AU 2001-91690	20010727
EP 1363628	A2	20031126	EP 2001-971797	20010727
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR			
JP 2004506619	T	20040304	JP 2002-517043	20010727
US 20030171393	A1	20030911	US 2003-333927	20030204
PRIORITY APPLN. INFO.:			IT 2000-MI1847	A 20000808
			WO 2001-EP8733	W 20010727

OTHER SOURCE(S): MARPAT 136:189342

AB Pharmaceuticals contg. nitric oxide-donor drugs or inorg. salts of compds. inhibiting phosphodiesterases are useful for the treatment of sexual dysfunction. Thus, a formulation contained 2-(acetyloxy)benzoic acid 6-(nitroxy-methyl)-2-methylpyridyl ester-HCl (NCX 4050) 4.2, white petrolatum 24, Polysorbate-60 4.8, glycerin 9.5, and water 48 g. NCX 4050 showed vasorelaxing activity on the aortas.

IT \*\*\*398460-41-8\*\*\*

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(drugs for treatment of sexual dysfunction)

RN 398460-41-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-methoxyphenyl)methyl]-, nitrate (1:?) (CA INDEX NAME)

CM 1

CRN 182878-83-7

CMF C20 H24 N4 O2

/ Structure 36 in file .gra /

CM 2

CRN 7697-37-2

CMF H N O3

/ Structure 37 in file .gra /

L7 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1996:664939 CAPLUS <<LOGINID::20080801>>  
 DOCUMENT NUMBER: 125:301016  
 ORIGINAL REFERENCE NO.: 125:56346h,56347a  
 TITLE: 6-Substituted pyrazolo[3,4-d]pyrimidin-4-ones and  
 compositions and methods of use as c-GMP  
 phosphodiesterase inhibitors  
 INVENTOR(S): Bacon, Edward R.; Daum, Sol J.; Singh, Baldev  
 PATENT ASSIGNEE(S): Sanofi Winthrop, Inc., USA  
 SOURCE: PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628429	A1	19960919	WO 1996-US2971	19960305
W: AU, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5656629	A	19970812	US 1995-402268	19950310
CA 2211669	A1	19960919	CA 1996-2211669	19960305
AU 9654188	A	19961002	AU 1996-54188	19960305
AU 708750	B2	19990812		
EP 813527	A1	19971229	EP 1996-911244	19960305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1177960	A	19980401	CN 1996-192462	19960305
HU 9801336	A2	19981028	HU 1998-1336	19960305
HU 9801336	A3	20000728		
JP 11501923	T	19990216	JP 1996-527681	19960305
ZA 9601947	A	19961007	ZA 1996-1947	19960311
US 5977118	A	19991102	US 1997-824600	19970326
NO 9704151	A	19971104	NO 1997-4151	19970909
PRIORITY APPLN. INFO.:			US 1995-402268	A 19950310
			WO 1996-US2971	W 19960305
OTHER SOURCE(S):	MARPAT 125:301016			
GI				

/ Structure 38 in file .gra /

AB 6-Substituted pyrazolo[3,4-d]pyrimidin-4-one derivs. I [R1 = tert-Bu, cyclopentyl; R3 = Me, Et, PhCH2; X = CH2, O, NH; R6 = (un)substituted Ph, or (when X = CH2) OH or certain specified heterocyclic radicals] and their pharmaceutically acceptable salts or hydrates are claimed. Also claimed are pharmaceutical compns. contg. them, and methods for their use in: (a) effecting c-GMP-phosphodiesterase inhibition, (b) treating heart failure and/or hypertension, (c) reversing or reducing nitrate-induced tolerance, and (d) treating angina pectoris, congestive heart disease, and myocardial infarction. Examples include 39 syntheses and 3 bioassays. For instance, 1-cyclopentyl-3-ethyl-5-amino-1H-pyrazole-4-carbonitrile underwent amidation with PhCH2COCl in pyridine to give 64% intermediate II, which

underwent H2O2-mediated hydrolysis and cyclization in aq. NaOH to give title compd. III. At 1 mg/kg i.v. in spontaneously hypertensive rats, III gave a 15% redn in mean arterial pressure in 5 min. III had an IC50 of 10 nM for inhibition of c-GMP phosphodiesterase V in vitro.

IT      \*\*\*182878-78-0P\*\*\*      \*\*\*182878-83-7P\*\*\*      \*\*\*182878-84-8P\*\*\*  
         \*\*\*182879-09-0P\*\*\*      \*\*\*182879-25-0P\*\*\*      \*\*\*182879-27-2P\*\*\*  
         \*\*\*182879-30-7P\*\*\*      \*\*\*182879-56-7P\*\*\*      \*\*\*182879-58-9P\*\*\*

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of substituted pyrazolopyrimidinones as c-GMP phosphodiesterase inhibitors)

RN    182878-78-0    CAPLUS

CN    4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-(phenylmethyl)- (CA INDEX NAME)

/ Structure 39 in file .gra /

RN    182878-83-7    CAPLUS

CN    4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 40 in file .gra /

RN    182878-84-8    CAPLUS

CN    4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-hydroxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 41 in file .gra /

RN    182879-09-0    CAPLUS

CN    4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(3-methoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 42 in file .gra /

RN    182879-25-0    CAPLUS

CN    4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(2-nitrophenyl)methyl]- (CA INDEX NAME)

/ Structure 43 in file .gra /

RN    182879-27-2    CAPLUS

CN    4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-aminophenyl)methyl]-1-cyclopentyl-3-ethyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 44 in file .gra /

RN    182879-30-7    CAPLUS

CN    4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(2-

methoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 45 in file .gra /

RN 182879-56-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(3-nitrophenyl)methyl]- (CA INDEX NAME)

/ Structure 46 in file .gra /

RN 182879-58-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-nitrophenyl)methyl]- (CA INDEX NAME)

/ Structure 47 in file .gra /

IT	***182878-79-1P***	***182878-80-4P***	***182878-85-9P***
	***182878-88-2P***	***182878-90-6P***	***182878-96-2P***
	***182879-11-4P***	***182879-15-8P***	***182879-23-8P***
	***182879-34-1P***	***182879-36-3P***	***182879-42-1P***
	***182879-44-3P***	***182879-46-5P***	***182879-48-7P***

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of substituted pyrazolopyrimidinones as c-GMP phosphodiesterase inhibitors)

RN 182878-79-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-3,6-bis(phenylmethyl)- (CA INDEX NAME)

/ Structure 48 in file .gra /

RN 182878-80-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[(3,4-dimethoxyphenyl)methyl]-3-ethyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 49 in file .gra /

RN 182878-85-9 CAPLUS

CN Acetic acid, 2-[4-[(1-cyclopentyl-3-ethyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]- (CA INDEX NAME)

/ Structure 50 in file .gra /

RN 182878-88-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[4-[2-(4-morpholinyl)ethoxy]phenyl)methyl]- (CA INDEX NAME)

/ Structure 51 in file .gra /

RN 182878-90-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[4-(2H-tetrazol-5-ylmethoxy)phenyl]methyl]- (CA INDEX NAME)

/ Structure 52 in file .gra /

RN 182878-96-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[[3,5-bis[(dimethylamino)methyl]-4-hydroxyphenyl]methyl]-1-cyclopentyl-3-ethyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 53 in file .gra /

RN 182879-11-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(3-hydroxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 54 in file .gra /

RN 182879-15-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[3-[2-(4-morpholinyl)ethoxy]phenyl]methyl]- (CA INDEX NAME)

/ Structure 55 in file .gra /

RN 182879-23-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 56 in file .gra /

RN 182879-34-1 CAPLUS  
CN Methanesulfonamide, N-[4-[(1-cyclopentyl-3-ethyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenyl]- (CA INDEX NAME)

/ Structure 57 in file .gra /

RN 182879-36-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(2-hydroxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 58 in file .gra /

RN 182879-42-1 CAPLUS  
CN Benzoic acid, 4-[(diethylamino)methyl]-, 4-[(1-cyclopentyl-3-ethyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenyl ester (CA INDEX NAME)

/ Structure 59 in file .gra /

RN 182879-44-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(2-aminophenyl)methyl]-1-cyclopentyl-3-ethyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 60 in file .gra /

RN 182879-46-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[[4-(dimethylamino)phenyl]methyl]-3-ethyl-1,5-dihydro- (CA INDEX NAME)

/ Structure 61 in file .gra /

RN 182879-48-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[4-(1H-imidazol-1-yl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 62 in file .gra /

L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1962:483251 CAPLUS <<LOGINID::20080801>>

DOCUMENT NUMBER: 57:83251

ORIGINAL REFERENCE NO.: 57:16611d-i,16612a-e

TITLE: Chemotherapeutic studies in the heterocyclic series.  
XXXIV. Pyrazolopyrimidines. 5. A new synthesis of  
pyrazolo[3,4-d]pyrimidine with coronary dilating  
properties

AUTHOR(S): Schmidt, P.; Eichenberger, K.; Wilhelm, M.

CORPORATE SOURCE: Ciba, Basel, Switz.

SOURCE: Helvetica Chimica Acta (1962), 45, 1620-7  
CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 57:83251

AB cf. CA 53, 20070d. The condensation of 3-amino-4-carbethoxypyrazoles with nitriles led to a new synthesis of 6-(C-substituted) pyrazolo[3,4-d]pyrimidines (I) and 6-aminopyrazolo[3,4-b]pyridines. The I could be cleaved with H<sub>3</sub>PO<sub>4</sub> to 3-aminopyrazole-4-carboxamide derivs. Many of the new I caused an increase of coronary flow. 2-Isopropyl-3-amino-4-carbethoxypyrazole (II) (19.7 g.) in 250 cc. 2N NaOH refluxed 2 hrs., cooled, treated with C, and acidified with concd. HCl to pH 3-4 gave 14.5 g. 4-CO<sub>2</sub>H analog (III) of II, m. 151-2.degree. (decompn.). III (84.5 g.) in 375 cc. dioxane and 40 cc. C<sub>5</sub>H<sub>5</sub>N treated dropwise with stirring at 10-15.degree. with 77.3 g. PhCH<sub>2</sub>COC<sub>2</sub>Cl in 125 cc. dry dioxane, stirred 1 hr. at 10.degree. and 2 hrs. at room temp., dild. with H<sub>2</sub>O and aq. HCl, and extd. with Et<sub>2</sub>O gave 53 g. 2-isopropyl-3-phenylacetyl-amino-4-carboxypyrazole (IV), m. 162-3.degree.. IV (8.61 g.) and 30 cc. Ac<sub>2</sub>O stirred 3 hrs. at 10-10.degree. and evapd. yielded 3.1 g. 1-isopropyl-4-oxo-6-benzylpyrazolo[3,4-d]oxazine (V), m. 162-3.degree. (Me<sub>2</sub>CO-petr. ether). III (30 g.) in 180 cc. dry dioxane and 16 cc. C<sub>5</sub>H<sub>5</sub>N treated dropwise with stirring at 10-15.degree. with 31 g. PhCH<sub>2</sub>COC<sub>2</sub>Cl in 50 cc. dioxane and processed in the usual manner gave 21 g. 4-CN analog (VI) of IV, m. 140-2.degree. (EtOH). PhCH<sub>2</sub>CN (26.3 g.) in 250 cc. CHCl<sub>3</sub> and 13 cc. abs. EtOH satd. with dry HCl, kept overnight, evapd. below 30.degree.,



the residue dissolved in 200 cc.  $\text{CHCl}_3$ , treated with 16.9 g. 2-isopropyl-3-amino-4-carbamoylpyrazole (VII) in 1800 cc.  $\text{CHCl}_3$ , refluxed 10 hrs. with stirring, filtered, and evapd. yielded 2-isopropyl-3-(1-ethoxy-2-phenylethylidenimino)-pyrazole-4-carboxamide (VIII), m. 111-14.degree. (Et<sub>2</sub>O). II (70 g.) and 140 g.  $\text{PhCH}_2\text{CN}$  added during 1 hr. with stirring at 90-5.degree. to 16.5 g. powd. Na in 300 cc. dry MePh, refluxed 7 hrs. with stirring, dild. with 240 cc. abs. EtOH, evapd., the residue dissolved in 1.2 l. N NaOH, washed with MePh, and acidified with 5N HCl to pH 5-6 gave 62.4 g. 1-isopropyl-4-oxo-6-benzyl-4,5-dihydropyrazolo [3,4 - d]pyrimidine (IX), m. 164-6.degree. (abs. EtOH); the alc. mother liquor concd., filtered, the residue (8.1 g.) shaken 0.5 hr. with 81 cc.  $\text{CH}_2\text{Cl}_2$ , and filtered left 4.77 g. 2-isopropyl-4-hydroxy-5-phenyl-6-aminopyrazolo[3,4-b]pyridine (X), m. 256-7.degree. (EtOH); the  $\text{CH}_2\text{Cl}_2$  filtrate evapd. gave 1.9 g. IX. Similarly were prepd. the following 1,6-disubstituted-4-oxo-4,5-dihydropyrazolo[3,4-d]pyrimidines (1- and 6-substituent and m.p. given): Me,  $\text{PhCH}_2$ , 233-7.degree.; Me, p- $\text{ClC}_6\text{H}_4\text{CH}_2$ , 268-70.degree.; Me, 3,4,5-(MeO) $\text{C}_6\text{H}_2\text{CH}_2$ , 245-6.degree.;  $\text{HOCH}_2\text{CH}_2$ ,  $\text{PhCH}_2$ , 194-5.degree.; iso-Pr, Me, 180-2.degree.; iso-Pr, Ph, 256-8.degree.; iso-Pr,  $\text{PhCH}_2$ , 165-6.degree.; iso-Pr, p- $\text{EtOC}_6\text{H}_4\text{CH}_2$ , 175-6.degree.; cyclopentyl,  $\text{PhCH}_2$ , 189-90.degree.; cyclohexyl,  $\text{PhCH}_2$ , 207-8.degree.; Ph,  $\text{PhCH}_2$  (XIII), 263-5.degree.. V (5.4 g.), 50 cc.  $\text{C}_6\text{H}_6$ , and 15 cc. liquid  $\text{NH}_3$  in a sealed tube heated 8 hrs. at 100-10.degree., treated with 2N NaOH, and the aq. phase acidified with 6N HCl to pH 6 gave 0.7 g. IX. VI (6.7g.) and 27.2 cc. 10% aq. KOH in 102 cc. 3%  $\text{H}_2\text{O}_2$  heated 10 hrs. at 70.degree., filtered, and acidified with 2N HCl to pH 5 yielded 6.12 g. IX, m. 163-5.degree.. Crude VIII from 26.3 g.  $\text{PhCH}_2\text{CN}$  and 16.9 g. VII added to 18 g. Na in 315 cc. MeOH, kept overnight, refluxed 0.5 hr., filtered, evapd., the residue shaken with 200 cc.  $\text{H}_2\text{O}$  and 200 cc.  $\text{CHCl}_3$ , and the aq. phase acidified with 5N HCl gave 16.6 g. IX. VII (8.4 g.) and 27 g.  $\text{PhCH}_2\text{CONH}_2$  heated 4 hrs. at 200-10.degree., cooled, powdered, extd. with 2N NaOH, and the alk. ext. acidified with 2N HCl to pH 3 yielded 3.2 g. IX, m. 165-6.degree. (EtOH). II (39.4 g.) in 150 cc. dry dioxane and 16 cc.  $\text{C}_5\text{H}_5\text{N}$  treated with stirring at 10-15.degree. during 15 min. with 31 g.  $\text{PhCH}_2\text{COCl}$  in 50 cc. dioxane, stirred 1 hr. at 10.degree. and 2 hrs. at room temp., treated with 130 cc. 2N HCl and 380 cc.  $\text{H}_2\text{O}$ , and extd. with about 1000 cc. Et<sub>2</sub>O yielded 33 g. 2-isopropyl-3-phenylacetyl-amino-4-carbethoxypyrazole (XIV), b<sub>0.08</sub> 170-5.degree..  $\text{NaNO}_2$  (7 g.) and 26.8 g. X added successively with stirring at 0-5.degree. to 268 cc. concd.  $\text{H}_2\text{SO}_4$ , stirred 3 hrs. at 0-5.degree., cooled, poured onto ice, heated with stirring to 80.degree., cooled, filtered, the residue (about 20 g.) treated with 400 cc. satd. aq.  $\text{NaHCO}_3$  and 400 cc.  $\text{H}_2\text{O}$ , filtered, and the filtrate acidified with 2N HCl to pH 3-4 yielded 16.8 g. 1-isopropyl-4-hydroxy-5-phenyl- 6-oxo-4,5-dihydropyrazolo[3,4-b]pyridine (XV), m. 322-4.degree. (EtOH). XIV (10 g.) and 2 g. Na in 150 cc. MePh refluxed 5 hrs. with stirring, cooled to room temp., treated with EtOH, evapd., the residue dissolved in  $\text{H}_2\text{O}$ , washed with Et<sub>2</sub>O, and acidified with 2N HCl gave 2.3 g. XV, m. 322-4.degree. (aq. EtOH). XIII (15 g.) and 100 cc.  $\text{POCl}_3$  refluxed 6 hrs., evapd., the residue dissolved in  $\text{CHCl}_3$ , and worked up gave 7.2 g. 1-phenyl-4-chloro-6-benzylpyrazolo[3,4-d]pyrimidine (XVI), m. 90-1.degree. ( $\text{CHCl}_3$ -petr. ether). XVI (7 g.) and 25 g. Me<sub>2</sub>NH in 50 cc. EtOH heated 7 hrs. at 100.degree. in an autoclave gave 4.3 g. 4-Me<sub>2</sub>N analog of XVI, m. 121-2.degree. (EtOH). IX (13.4 g.) and 1.15 g. Na in 300 cc. EtOH stirred 1 hr. at room temp., treated with 5.5 g. Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl, refluxed 4 hrs., evapd., the residue dissolved in 100 cc. N HCl, washed with Et<sub>2</sub>O, basified to pH 10 with aq. NaOH, and extd. with Et<sub>2</sub>O yielded 13 g. 5-Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub> deriv. (XVII) of IX, m. 115-17.degree. (petr. ether). XVII (10 g.) and 35 cc. 85%  $\text{H}_3\text{PO}_4$  stirred 6 hrs. at

100.degree., poured onto 300 g. ice, adjusted with aq. NaOH to pH 10, filtered, and extd. with CHCl3 gave 6 g. 2-isopropyl-3-aminopyrazole-4-carboxylic acid 2-dimethylaminoethylamide, m. 131-2.degree. (iso-Pr2O).

IT \*\*\*97433-46-0P\*\*\* , 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-benzyl-1-cyclopentyl-1,5-dihydro-

RL: PREP (Preparation)  
(prepn. of)

RN 97433-46-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-benzyl-1-cyclopentyl-1,5-dihydro-  
(7CI) (CA INDEX NAME)

/ Structure 63 in file .gra /

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SINCE FILE

TOTAL

ENTRY

SESSION

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-8.80

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NEWS	2	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	4	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new

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predefined hit display formats
NEWS 9 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 10 APR 28 IMSRESEARCH reloaded with enhancements
NEWS 11 MAY 30 INPAFAMDB now available on STN for patent family
searching
NEWS 12 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology
sequence search option
NEWS 13 JUN 06 EPFULL enhanced with 260,000 English abstracts
NEWS 14 JUN 06 KOREAPAT updated with 41,000 documents
NEWS 15 JUN 13 USPATFULL and USPAT2 updated with 11-character
patent numbers for U.S. applications
NEWS 16 JUN 19 CAS REGISTRY includes selected substances from
web-based collections
NEWS 17 JUN 25 CA/CAPLUS and USPAT databases updated with IPC
reclassification data
NEWS 18 JUN 30 AEROSPACE enhanced with more than 1 million U.S.
patent records
NEWS 19 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional
options to display authors and affiliated
organizations
NEWS 20 JUN 30 STN on the Web enhanced with new STN AnaVist
Assistant and BLAST plug-in
NEWS 21 JUN 30 STN AnaVist enhanced with database content from EPFULL
NEWS 22 JUL 28 CA/CAPLUS patent coverage enhanced
NEWS 23 JUL 28 EPFULL enhanced with additional legal status
information from the EPOLINE Register
NEWS 24 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 25 JUL 28 STN Viewer performance improved
NEWS 26 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 27 AUG 13 CA/CAPLUS enhanced with printed Chemical Abstracts
page images from 1967-1998
NEWS 28 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 29 AUG 15 CAPLUS currency for Korean patents enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

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COST IN U.S. DOLLARS

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ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

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0.21

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STRUCTURE FILE UPDATES: 18 AUG 2008 HIGHEST RN 1041768-00-6  
DICTIONARY FILE UPDATES: 18 AUG 2008 HIGHEST RN 1041768-00-6

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<http://www.cas.org/support/stngen/stndoc/properties.html>

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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

/ Structure 64 in file .gra /

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=> s l1

SAMPLE SEARCH INITIATED 11:33:15 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 207 TO ITERATE

100.0% PROCESSED 207 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 3277 TO 5003

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss ful

FULL SEARCH INITIATED 11:33:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4833 TO ITERATE

100.0% PROCESSED 4833 ITERATIONS 7 ANSWERS  
SEARCH TIME: 00.00.01

L3 7 SEA SSS FUL L1

=> file cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

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FILE COVERS 1907 - 19 Aug 2008 VOL 149 ISS 8  
FILE LAST UPDATED: 18 Aug 2008 (20080818/ED)

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=> s l3

L4 4 L3

=> d l4 1-4 ibib abs hitstr

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:198173 CAPLUS <<LOGINID::20080819>>  
DOCUMENT NUMBER: 140:247085  
TITLE: Selective phosphodiesterase 9A inhibitors for the improvement of cognitive processes  
INVENTOR(S): Boss, Frank-Gerhard; Erb, Christina; Hendrix, Martin; Van Kampen, Marja; Wunder, Frank  
PATENT ASSIGNEE(S): Bayer AG, Germany  
SOURCE: Ger. Offen., 17 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238722	A1	20040311	DE 2002-10238722	20020823
CA 2496292	A1	20040401	CA 2003-2496292	20030811
WO 2004026286	A2	20040401	WO 2003-EP8880	20030811
WO 2004026286	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003258597	A1	20040408	AU 2003-258597	20030811
EP 1534285	A2	20050601	EP 2003-797233	20030811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501272	T	20060112	JP 2004-536933	20030811
US 20060100222	A1	20060511	US 2005-525119	20051014
PRIORITY APPLN. INFO.:			DE 2002-10238722	A 20020823
			WO 2003-EP8880	W 20030811
AB	The invention discloses the use of selective phosphodiesterase 9A inhibitors for the prodn. of drugs for the improvement of perception, concn., cognitive processes, learning and/or memory. Prepn. and activity of pyrazolopyrimidinone derivs. is included.			
IT	***667400-79-5P***			
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(phosphodiesterase 9A inhibitors for improvement of cognitive processes)			
RN	667400-79-5 CAPLUS			
CN	4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)			

/ Structure 65 in file .gra /

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:182883 CAPLUS <<LOGINID::20080819>>  
DOCUMENT NUMBER: 140:217660  
TITLE: Preparation of 6-benzylpyrazolo[3,4-d]pyrimidin-4-ones as phosphodiesterase-9A (PDE9A) inhibitors.  
INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt, Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen, Marja  
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany  
SOURCE: PCT Int. Appl., 56 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018474	A1	20040304	WO 2003-EP8923	20030812
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10238723	A1	20040311	DE 2002-10238723	20020823
CA 2496194	A1	20040304	CA 2003-2496194	20030812
AU 2003258601	A1	20040311	AU 2003-258601	20030812
EP 1534711	A1	20050601	EP 2003-792301	20030812
EP 1534711	B1	20060419		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006507242	T	20060302	JP 2004-530129	20030812
ES 2263057	T3	20061201	ES 2003-792301	20030812
US 20060106035	A1	20060518	US 2005-525115	20050831
PRIORITY APPLN. INFO.:			DE 2002-10238723	A 20020823
			WO 2003-EP8923	W 20030812
OTHER SOURCE(S):	MARPAT 140:217660			
GI				

/ Structure 66 in file .gra /

AB Title compds. (I; R1 = Ph substituted by 1-5 halo, alkyl, CF3, OCF3, cyano, OH, NO2, alkoxy; R2 = pentan-3-yl, C4-6 cycloalkyl; X = O, S), were prepd. for improvement of perception, concn., learning and/or memory (no data). Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (prepn. given) and Et 3-chlorophenylacetate in EtOH at 0.degree. were treated slowly with NaH followed by slow warming and then 18 h reflux to give 81% 6-(3-chlorobenzyl)-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one.

IT \*\*\*666235-25-2P\*\*\* \*\*\*666235-27-4P\*\*\* \*\*\*666235-28-5P\*\*\*  
\*\*\*666235-29-6P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzylpyrazolopyrimidones as phosphodiesterase-9A (PDE9A) inhibitors)

RN 666235-25-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3-chlorophenyl)methyl]-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 67 in file .gra /

RN 666235-27-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(2,5-dichlorophenyl)methyl]-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 68 in file .gra /

RN 666235-28-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(3-methylphenyl)methyl]- (CA INDEX NAME)

/ Structure 69 in file .gra /

RN 666235-29-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 70 in file .gra /

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:177919 CAPLUS <<LOGINID::20080819>>

DOCUMENT NUMBER: 140:235735

TITLE: Preparation of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.

INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt, Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen, Marja

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238724	A1	20040304	DE 2002-10238724	20020823
CA 2496308	A1	20040401	CA 2003-2496308	20030813
WO 2004026876	A1	20040401	WO 2003-EP8979	20030813
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			



AU 2003251706	A1	20040408	AU 2003-251706	20030813
EP 1534713	A1	20050601	EP 2003-797239	20030813
EP 1534713	B1	20060111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503051	T	20060126	JP 2004-536941	20030813
ES 2256797	T3	20060716	ES 2003-797239	20030813
US 20060111372	A1	20060525	US 2005-524956	20051215
PRIORITY APPLN. INFO.:			DE 2002-10238724	A 20020823
			WO 2003-EP8979	W 20030813
OTHER SOURCE(S):			MARPAT 140:235735	
GI				

/ Structure 71 in file .gra /

AB Title compds. [I; R1 = OH, (substituted) alkyl, alkoxy, CO2R5, CONR6R7; R5 = alkyl; R6, R7 = H, aryl, alkyl; NR6R7 = 4-10 membered heterocycle; R2 = H, alkyl, alkoxy; R3 = H, alkyl; R4 = pentan-3-yl, C4-6 cycloalkyl; X = O, S], were prepd. Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (prepn. given), Me cyclohexylacetate, and NaH were refluxed 18 h in EtOH to give 31% 6-cyclohexylmethyl-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one. The latter inhibited PDE9A with IC50 = 5 nM.

IT \*\*\*667400-79-5P\*\*\* \*\*\*667870-23-7P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.)

RN 667400-79-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 72 in file .gra /

RN 667870-23-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(4-methylcyclohexyl)methyl]- (CA INDEX NAME)

/ Structure 73 in file .gra /

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1964:16883 CAPLUS <<LOGINID::20080819>>

DOCUMENT NUMBER: 60:16883

ORIGINAL REFERENCE NO.: 60:2981a-e

TITLE: 4-Hydroxypyrazolo[3,4-d]pyrimidines

INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max

PATENT ASSIGNEE(S): CIBA Ltd.

SOURCE: 10 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1153023		19630822	DE	
CH 396925			CH	
GB 937724			GB	
PRIORITY APPLN. INFO.:			CH	19600511

GI For diagram(s), see printed CA Issue.

AB 1-R1, 3-R2, 6-R3-substituted 4-hydroxy pyrazolo[3,4-d]pyrimidines (R1 = H, alkyl, hydroxyalkyl, or oxaalkyl; R2 = H or low-mol.-wt. alkyl; R3 = eventually substituted phenylalkyl or diphenylalkyl radicals) are prepd. Thus, 19.7 g. 2-isopropyl-3-amino-4-carbethoxypyrazole and 45 g. .beta.-phenylpropionitrile in 30 cc. abs. PhMe are added to 4.6 g. powd. Na in 85 cc. abs. PhMe at 90-5.degree. with stirring, the mixt. stirred 5 hrs. at 90-5.degree., 50 cc. alc. added, the soln. evapd. to dryness, the residue extd. with N NaOH and PhMe, and the alk. soln. neutralized with 6N HCl to ppt. 8.7 g. 1-isopropyl-4-hydroxy-(6-R-substituted)-pyrazolo[3,4-d]pyrimidine(I) (R = .beta.-phenylethyl), m. 124-5.degree. (alc.). Similarly prepd. are the following I (R and m.p. given): m-hydroxybenzyl, 226-7.degree. (alc.); p-chlorobenzyl (II), 181-2.degree. (alc.); 3,4,5-trimethoxy-phenylmethyl, 195-6.degree. (alc.); p-ethoxybenzyl, 175-6.degree. (alc.); m-methoxybenzyl, 155-8.degree. (alc.); o-methoxybenzyl, 157-9.degree. (EtOH); 2-methyl-3-methoxybenzyl, 150-1.degree. (EtOH); diphenylmethyl, 226-7.degree. (EtOH); .alpha.-phenylpropyl, 142-3.degree. (alc.). Also prepd. are the following 1-methyl-4-hydroxy-(6-R-substituted)-pyrazolo[3,4-d]pyrimidines (R and m.p. given): benzyl, 236-7.degree. (EtOH); 3,4,5-trimethoxyphenylmethyl, 245.degree. (CHCl3-petr. ether); p-chlorobenzyl, 268-70.degree. (HCONMe2-H2O); 2,3-dimethoxyphenylmethyl, 190-1.degree. (alc.). The following (1-R-substituted)-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidines are prepd. (R and m.p. given): sec-butyl, 154-5.degree. (alc.); pent-3-yl, 144-5.degree. (abs. alc.); .beta.-hydroxyethyl, 194-5.degree. (alc.); 1-ethoxybut-3-yl, 111-12.degree. (MeOH-H2O); H, 290-2.degree. (EtOH); 3-methylbut-2-yl, 157-8.degree. (EtOH). Also prepd. are these starting materials: 2-(.beta.-hydroxyethyl)-3-amino-4-carbethoxypyrazole, b0.6 180.degree., m. 89-91.degree.; 2-[1-ethoxybut-3-yl]-3-amino-4-carbethoxypyrazole, b0.1 120-5.degree.; 2-isopropyl-3-[.alpha.-ethoxy-.beta.-(p-chlorophenyl)ethylidenamino]pyrazole-4-carboxamide; 2-isopropyl-3-(p-chlorophenylacetamido)-4-carboxypyrazole; 1-isopropyl-4-oxo-6-(p-chlorobenzyl)pyrazolo[3,4-d]oxazine; 2-isopropyl-3-(p-chlorophenylacetamido)-4-pyrazolecarbonitrile.

IT \*\*\*93726-16-0P\*\*\* , 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-benzyl-1-(1-ethylpropyl)-  
 RL: PREP (Preparation)  
 (prepn. of)

RN 93726-16-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-benzyl-1-(1-ethylpropyl)- (7CI) (CA INDEX NAME)

/ Structure 74 in file .gra /

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	23.72	202.29
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-3.20	-3.20

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 LAST RELOADED: Aug 8, 2008 (20080808/UP).

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	SINCE FILE	TOTAL
	ENTRY	SESSION
COST IN U.S. DOLLARS		
FULL ESTIMATED COST	0.06	202.35
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-3.20

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STRUCTURE FILE UPDATES: 18 AUG 2008 HIGHEST RN 1041768-00-6  
 DICTIONARY FILE UPDATES: 18 AUG 2008 HIGHEST RN 1041768-00-6

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 experimental property data in the original document. For information  
 on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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L2 HAS NO ANSWERS
L1 STR
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/ Structure 75 in file .gra /

Structure attributes must be viewed using STN Express query preparation.  
 L2 0 SEA FILE=REGISTRY SSS SAM L1

=> d 13

L3 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 667870-23-7 REGISTRY  
ED Entered STN: 26 Mar 2004  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(4-methylcyclohexyl)methyl]- (CA INDEX NAME)  
MF C18 H28 N4 O  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

/ Structure 76 in file .gra /

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 13 1-7

L3 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 667870-23-7 REGISTRY  
ED Entered STN: 26 Mar 2004  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(4-methylcyclohexyl)methyl]- (CA INDEX NAME)  
MF C18 H28 N4 O  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

/ Structure 77 in file .gra /

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 667400-79-5 REGISTRY  
ED Entered STN: 25 Mar 2004  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)  
MF C17 H26 N4 O  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

/ Structure 78 in file .gra /

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 666235-29-6 REGISTRY  
ED Entered STN: 22 Mar 2004  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)  
MF C18 H19 F3 N4 O  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

/ Structure 79 in file .gra /

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 666235-28-5 REGISTRY  
ED Entered STN: 22 Mar 2004  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(3-methylphenyl)methyl]- (CA INDEX NAME)  
MF C18 H22 N4 O  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

/ Structure 80 in file .gra /

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 666235-27-4 REGISTRY  
ED Entered STN: 22 Mar 2004  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(2,5-dichlorophenyl)methyl]-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)  
MF C17 H18 Cl2 N4 O  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

/ Structure 81 in file .gra /

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 666235-25-2 REGISTRY  
ED Entered STN: 22 Mar 2004  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3-chlorophenyl)methyl]-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)  
MF C17 H19 Cl N4 O  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

/ Structure 82 in file .gra /

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 93726-16-0 REGISTRY  
ED Entered STN: 18 Dec 1984  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-benzyl-1-(1-ethylpropyl)- (7CI) (CA INDEX NAME)  
MF C17 H20 N4 O  
LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS  
(\*File contains numerically searchable property data)

/ Structure 83 in file .gra /

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> logoff

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	21.52	223.87
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-3.20

STN INTERNATIONAL LOGOFF AT 11:43:15 ON 19 AUG 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAPEZ1617

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAR 31	IFICDB, IFIPAT, and IFIUIDB enhanced with new custom IPC display formats
NEWS	3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	4	MAR 31	CA/CAPplus and CASREACT patent number format for U.S. applications updated
NEWS	5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	17	JUN 25	CA/CAPplus and USPAT databases updated with IPC reclassification data
NEWS	18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	22	JUL 28	CA/CAPplus patent coverage enhanced
NEWS	23	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS	24	JUL 28	IFICDB, IFIPAT, and IFIUIDB reloaded with enhancements
NEWS	25	JUL 28	STN Viewer performance improved
NEWS	26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	27	AUG 13	CA/CAPplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	28	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	29	AUG 15	CAPplus currency for Korean patents enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 13:06:06 ON 19 AUG 2008

=> file reeg

'REEG' IS NOT A VALID FILE NAME

SESSION CONTINUES IN FILE 'HOME'

Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files that are available. If you have requested multiple files, you can specify a corrected file name or you can enter "IGNORE" to continue accessing the remaining file names entered.

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:06:22 ON 19 AUG 2008

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STRUCTURE FILE UPDATES: 18 AUG 2008 HIGHEST RN 1041768-00-6

DICTIONARY FILE UPDATES: 18 AUG 2008 HIGHEST RN 1041768-00-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>



Uploading C:\Program Files\STNEXP\Queries\10525119 take 4.str

$$\Rightarrow d_{11}$$

L1	STR
----	-----

Structure attributes must be viewed using STN Express query preparation.

SAMPLE SEARCH INITIATED 13:06:57 FILE 'REGISTRY'

SEARCH TIME: 00.00.01

BATCH       \*\*COMPLETE\*\*

PROJECTED ANSWERS: 0 TO 0

$$\Rightarrow s \sqsubseteq_{ll} sss \text{ ful}$$

FULL SEARCH INITIATED 13:07:03 FILE 'REGISTRY'

SEARCH TIME: 00.00.01

=> s 12 sss full

FULL SEARCH INITIATED 13:07:14 FILE 'REGISTRY'

SEARCH TIME: 00.00.01

=> file stn

'STN' IS AN AMBIGUOUS FILE NAME

STNGUIDE - Descriptive information about STN databases

STNMAIL - STN Electronic Mail Service

Enter the appropriate file name or enter "IGNORE" to continue  
accessing the remaining files of your multiple file entry.

ENTER A FILE NAME OR (END):end

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	356.72	356.93

FILE 'STNGUIDE' ENTERED AT 13:07:40 ON 19 AUG 2008  
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FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Aug 8, 2008 (20080808/UP).

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.12	357.05

FILE 'REGISTRY' ENTERED AT 13:08:38 ON 19 AUG 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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provided by InfoChem.

STRUCTURE FILE UPDATES: 18 AUG 2008 HIGHEST RN 1041768-00-6  
DICTIONARY FILE UPDATES: 18 AUG 2008 HIGHEST RN 1041768-00-6

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10525119 take 5.str

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR

/ Structure 85 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 13:08:55 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 642 TO ITERATE

100.0% PROCESSED 642 ITERATIONS 12 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 11320 TO 14360  
PROJECTED ANSWERS: 33 TO 447

L6 12 SEA SSS SAM L5

=> s 15 sss ful

FULL SEARCH INITIATED 13:09:02 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 12751 TO ITERATE

100.0% PROCESSED 12751 ITERATIONS 205 ANSWERS  
SEARCH TIME: 00.00.01

L7 205 SEA SSS FUL L5

=> file cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	535.41

FILE 'CAPLUS' ENTERED AT 13:09:06 ON 19 AUG 2008  
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FILE COVERS 1907 - 19 Aug 2008 VOL 149 ISS 8  
FILE LAST UPDATED: 18 Aug 2008 (20080818/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> d 17

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d 18

L8 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s 17

L8                   45 L7

=> s 18 and PDE9

35 PDE9

L9                   0 L8 AND PDE9

=> s 18 and PDE

5881 PDE

1149 PDES

6359 PDE

(PDE OR PDES)

L10                  0 L8 AND PDE

=> d scan 18

L8    45 ANSWERS    CAPLUS    COPYRIGHT 2008 ACS on STN

IC    ICM   A61K045-06

ICS   A61K031-522; A61K038-17; A61P011-00

CC    1-9 (Pharmacology)

TI    pulmonary surfactant and pde2 inhibitor combinations for treatment of pulmonary diseases

ST    phosphodiesterase2 inhibitor surfactant combination pulmonary disease lung injury

IT    Lung, disease

(acute injury; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT    Injury

(acute pulmonary; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT    Respiratory distress syndrome

(adult; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT    Asthma

(bronchiale; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT    Drug delivery systems

(carriers; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT    Lung, disease

(caused by surfactant malfunction of PDE2 activity; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT    Drug delivery systems

(intrabronchial; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT    Drug delivery systems

(intratracheal; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT    Respiratory distress syndrome

(newborn; pulmonary surfactant and PDE2 inhibitor combinations for

treatment of pulmonary diseases)

IT Drug delivery systems  
(oral; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT Drug delivery systems  
(powders, inhalants; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT Combination chemotherapy  
Human  
Pulmonary surfactant  
(pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT Fatty acids, biological studies  
Glycerides, biological studies  
Phosphatidylcholines, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT Drug interactions  
(synergistic; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT 847472-07-5  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(7pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT 7782-44-7, Oxygen, biological studies  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(blood oxygenation; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT 9040-59-9, Pde2  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitor; pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT 108778-82-1, Survanta 129069-19-8, Curosurf 190666-14-9 474242-11-0, Venticute 847472-25-7  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

IT 57-10-3, Palmitic acid, biological studies 63-89-8, Colfosceril palmitate 7647-14-5, Sodium chloride, biological studies 10043-52-4, Calcium chloride, biological studies 51350-19-7 99732-49-7, Exosurf 138531-07-4, Sinapultide 151437-98-8, Alveofact 180342-86-3 180342-89-6 180342-95-4 180343-01-5 180343-06-0 183325-78-2, Infasurf 190665-16-8 190665-18-0 190665-49-7 190665-96-4 190665-97-5 190666-52-5 200074-80-2, Lusupultide 200803-37-8 \*\*\*213324-52-8\*\*\* 258856-56-3, Pumactant 259546-90-2 259546-92-4 259546-93-5 259546-94-6 267228-70-6 439083-90-6 454426-54-1 454426-56-3 454426-76-7 847471-62-9 847471-65-2 847471-75-4 847471-77-6 847471-79-8 847471-81-2 847471-83-4 847471-85-6 847471-87-8 847471-89-0 847471-91-4 847471-93-6 847471-95-8 847471-97-0 847471-99-2 847472-01-9 \*\*\*847472-03-1\*\*\* 847472-05-3 847472-09-7 847472-11-1 847472-13-3 847472-15-5 847472-17-7 847472-19-9 847472-21-3 847472-23-5  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> d 18 ibib abs hitstr

L8 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:639952 CAPLUS <<LOGINID::20080819>>

DOCUMENT NUMBER: 149:10034

TITLE: Preparation of heterobicyclic metalloprotease inhibitors

INVENTOR(S): Gege, Christian; Schneider, Matthias; Chevrier, Carine; Deng, Hongbo; Sucholeiki, Irving; Gallagher, Brian M., Jr.; Bosies, Michael; Steeneck, Christoph; Wu, Xinyuan; Hochguertel, Matthias; Nolte, Bert; Taveras, Arthur

PATENT ASSIGNEE(S): Alantos Pharmaceuticals Holding, Inc., USA

SOURCE: PCT Int. Appl., 190pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008063668	A1	20080529	WO 2007-US24363	20071120
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-860195P P 20061120

OTHER SOURCE(S): MARPAT 149:10034

GI

/ Structure 86 in file .gra /

AB The present invention relates generally to azabicyclic contg. pharmaceutical agents, and in particular, to azabicyclic metalloprotease inhibiting compds. More particularly, the present invention provides a new class of azabicyclic MMP-3, MMP-8 and/or MMP-13 inhibiting compds. I [R1 = (hetero)cycloalkyl fused aryl, (hetero)cycloalkyl fused heteroaryl, (hetero)cycloalkyl fused arylalkyl, (hetero)cycloalkyl fused heteroarylalkyl; R2 = H, alkyl; or NR1R2 = 3-8 membered ring contg. C atoms and optionally a heteroatom selected from O, S(O)x or NR50; R8 = H, alkyl, cycloalkyl, etc.; R9 = H, alkyl, cycloalkyl, etc.; R10 = H, alkyl, cycloalkyl, etc.; R50 = H, alkyl, aryl, etc.; X1 = O, S, NR10, etc.; L1 = CR9, N; L = C and N, with the proviso that both L are not N, and that the

bond between L1 and L is optionally a double bond only if both L are C atoms; Q = (un)substituted 4-8 membered (hetero)cycloalkyl or 5-6 membered (hetero)aryl; x = 0-2], which exhibit an increased potency and selectivity in relation to currently known MMP-13, MMP-8 and MMP-3 inhibitors. Prepn. of compds. I was described in many examples. E.g., a multi-step synthesis of II, starting from Me 2-aminothiophene-3-carboxylate and Et cyanoacetate, was described. Compds. I were tested against different metalloproteases (data given for representative compds. I). For example, II showed IC50 lower than 100 nM when tested against MMP-13. Pharmaceutical compns. comprising compd. I, alone or in combination with other therapeutic agents, are disclosed.

IT \*\*\*1029416-26-9P\*\*\* \*\*\*1029416-28-1P\*\*\*  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of heterobicyclic metalloprotease inhibitors)  
RN 1029416-26-9 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-carboxamide, N-[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)methyl]-4,5-dihydro-1-methyl-4-oxo- (CA INDEX NAME)

/ Structure 87 in file .gra /

RN 1029416-28-1 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-carboxamide, N-[[2-(2-amino-3,4-dioxo-1-cyclobuten-1-yl)-1,2,3,4-tetrahydro-7-isoquinolinyl]methyl]-4,5-dihydro-1-methyl-4-oxo- (CA INDEX NAME)

/ Structure 88 in file .gra /

IT \*\*\*130925-71-2P\*\*\* \*\*\*1029420-83-4P\*\*\*  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of heterobicyclic metalloprotease inhibitors)  
RN 130925-71-2 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-carboxylic acid, 4,5-dihydro-1-methyl-4-oxo-, ethyl ester (CA INDEX NAME)

/ Structure 89 in file .gra /

RN 1029420-83-4 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine-6-carboxylic acid, 4,5-dihydro-1-methyl-4-oxo- (CA INDEX NAME)

/ Structure 90 in file .gra /

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> 0

0 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

=> s l8 and phosphodiesterase  
28426 PHOSPHODIESTERASE  
3026 PHOSPHODIESTERASES  
29038 PHOSPHODIESTERASE  
(PHOSPHODIESTERASE OR PHOSPHODIESTERASES)

L11 7 L8 AND PHOSPHODIESTERASE

=> d l11 1-7 ibib hitstr abs

L11 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:216706 CAPLUS <<LOGINID::20080819>>  
DOCUMENT NUMBER: 142:274026  
TITLE: pulmonary surfactant and pde2 inhibitor combinations  
for treatment of pulmonary diseases  
INVENTOR(S): Wollin, Stefan-Lutz  
PATENT ASSIGNEE(S): Altana Pharma AG, Germany  
SOURCE: PCT Int. Appl., 29 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021037	A1	20050310	WO 2004-EP51948	20040827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004268387	A1	20050310	AU 2004-268387	20040827
CA 2536458	A1	20050310	CA 2004-2536458	20040827
EP 1660132	A1	20060531	EP 2004-786242	20040827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007504117	T	20070301	JP 2006-524370	20040827
US 20060229242	A1	20061012	US 2006-568817	20060221
PRIORITY APPLN. INFO.:			EP 2003-19447	A 20030828
			WO 2004-EP51948	W 20040827
IT ***213324-52-8***		***847472-03-1***		
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)				
RN 213324-52-8 CAPLUS				
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-3-methyl-				(CA INDEX NAME)



/ Structure 91 in file .gra /

RN 847472-03-1 CAPLUS  
CN 2-35-Lipoprotein SP-C, 5-L-phenylalanine-6-L-phenylalanine-33-L-isoleucine-  
, mixt. with 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-  
hydroxyethyl)-4-phenylbutyl]-3-methyl-4H-pyrazolo[3,4-d]pyrimidin-4-one  
(9CI) (CA INDEX NAME)  
  
CM 1  
  
CRN 213324-52-8  
CMF C27 H32 N4 O4

/ Structure 92 in file .gra /

CM 2  
  
CRN 200074-80-2  
CMF C182 H310 N40 O35  
CCI MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

AB The invention relates to the combined administration of a pulmonary  
surfactant and a PDE2 inhibitor for the treatment of a disease in which  
pulmonary surfactant malfunction and/or \*\*\*phosphodiesterase\*\*\* 2  
(PDE2) activity is detrimental. The invention discloses pharmaceutical  
compsns. comprised of PDE2 inhibitors in combination with pulmonary  
surfactants for the treatment of lung diseases and injuries.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:198173 CAPLUS <<LOGINID::20080819>>  
DOCUMENT NUMBER: 140:247085  
TITLE: Selective \*\*\*phosphodiesterase\*\*\* 9A inhibitors  
for the improvement of cognitive processes  
INVENTOR(S): Boss, Frank-Gerhard; Erb, Christina; Hendrix, Martin;  
Van Kampen, Marja; Wunder, Frank  
PATENT ASSIGNEE(S): Bayer AG, Germany  
SOURCE: Ger. Offen., 17 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238722	A1	20040311	DE 2002-10238722	20020823
CA 2496292	A1	20040401	CA 2003-2496292	20030811
WO 2004026286	A2	20040401	WO 2003-EP8880	20030811
WO 2004026286	A3	20040603		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
AU 2003258597 A1 20040408 AU 2003-258597 20030811  
EP 1534285 A2 20050601 EP 2003-797233 20030811  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
JP 2006501272 T 20060112 JP 2004-536933 20030811  
US 20060100222 A1 20060511 US 2005-525119 20051014  
PRIORITY APPLN. INFO.: DE 2002-10238722 A 20020823  
WO 2003-EP8880 W 20030811

IT \*\*\*667400-79-5P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

( \*\*\*phosphodiesterase\*\*\* 9A inhibitors for improvement of cognitive  
processes)

RN 667400-79-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-  
1,5-dihydro- (CA INDEX NAME)

/ Structure 93 in file .gra /

AB The invention discloses the use of selective \*\*\*phosphodiesterase\*\*\*  
9A inhibitors for the prodn. of drugs for the improvement of perception,  
concn., cognitive processes, learning and/or memory. Prepn. and activity  
of pyrazolopyrimidinone derivs. is included.

L11 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:182883 CAPLUS <<LOGINID::20080819>>

DOCUMENT NUMBER: 140:217660

TITLE: Preparation of 6-benzylpyrazolo[3,4-d]pyrimidin-4-ones  
as \*\*\*phosphodiesterase\*\*\* -9A (PDE9A) inhibitors.

INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt,  
Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen,  
Marja

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018474	A1	20040304	WO 2003-EP8923	20030812
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,			

TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10238723	A1	20040311	DE 2002-10238723	20020823
CA 2496194	A1	20040304	CA 2003-2496194	20030812
AU 2003258601	A1	20040311	AU 2003-258601	20030812
EP 1534711	A1	20050601	EP 2003-792301	20030812
EP 1534711	B1	20060419		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006507242	T	20060302	JP 2004-530129	20030812
ES 2263057	T3	20061201	ES 2003-792301	20030812
US 20060106035	A1	20060518	US 2005-525115	20050831

PRIORITY APPLN. INFO.: DE 2002-10238723 A 20020823  
WO 2003-EP8923 W 20030812

OTHER SOURCE(S): MARPAT 140:217660

IT \*\*\*666235-25-2P\*\*\* \*\*\*666235-27-4P\*\*\* \*\*\*666235-28-5P\*\*\*  
\*\*\*666235-29-6P\*\*\*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(prepn. of benzylpyrazolopyrimidones as \*\*\*phosphodiesterase\*\*\* -9A  
(PDE9A) inhibitors)

RN 666235-25-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3-chlorophenyl)methyl]-1-(1-  
ethylpropyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 94 in file .gra /

RN 666235-27-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(2,5-dichlorophenyl)methyl]-1-(1-  
ethylpropyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 95 in file .gra /

RN 666235-28-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(3-  
methylphenyl)methyl]- (CA INDEX NAME)

/ Structure 96 in file .gra /

RN 666235-29-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[[3-  
(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)

/ Structure 97 in file .gra /

GI

/ Structure 98 in file .gra /

AB Title compds. (I; R1 = Ph substituted by 1-5 halo, alkyl, CF3, OCF3, cyano, OH, NO2, alkoxy; R2 = pentan-3-yl, C4-6 cycloalkyl; X = O, S), were prepd. for improvement of perception, concn., learning and/or memory (no data). Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (prepn. given) and Et 3-chlorophenylacetate in EtOH at 0.degree. were treated slowly with NaH followed by slow warming and then 18 h reflux to give 81% 6-(3-chlorobenzyl)-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:177919 CAPLUS <<LOGINID::20080819>>

DOCUMENT NUMBER: 140:235735

TITLE: Preparation of pyrazolopyrimidines as  
\*\*\*phosphodiesterase\*\*\* PDE9A inhibitors.

INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt, Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen, Marja

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
DE 10238724	A1	20040304	DE 2002-10238724	20020823
CA 2496308	A1	20040401	CA 2003-2496308	20030813
WO 2004026876	A1	20040401	WO 2003-EP8979	20030813
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003251706	A1	20040408	AU 2003-251706	20030813
EP 1534713	A1	20050601	EP 2003-797239	20030813
EP 1534713	B1	20060111		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006503051	T	20060126	JP 2004-536941	20030813
ES 2256797	T3	20060716	ES 2003-797239	20030813
US 20060111372	A1	20060525	US 2005-524956	20051215
PRIORITY APPLN. INFO.:			DE 2002-10238724	A 20020823
			WO 2003-EP8979	W 20030813

OTHER SOURCE(S): MARPAT 140:235735

IT \*\*\*667400-79-5P\*\*\* \*\*\*667870-14-6P\*\*\* \*\*\*667870-15-7P\*\*\*  
\*\*\*667870-16-8P\*\*\* \*\*\*667870-17-9P\*\*\* \*\*\*667870-18-0P\*\*\*

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***667870-19-1P***      ***667870-20-4P***      ***667870-21-5P***
***667870-23-7P***      ***667870-28-2P***
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
    (prepn. of pyrazolopyrimidines as      ***phosphodiesterase***      PDE9A
inhibitors.)
RN      667400-79-5      CAPLUS
CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-
1,5-dihydro-      (CA INDEX NAME)

/ Structure 99 in file .gra /

RN      667870-14-6      CAPLUS
CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-(2-
methylbutyl)-      (CA INDEX NAME)

/ Structure 100 in file .gra /

RN      667870-15-7      CAPLUS
CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-(3-
methylbutyl)-      (CA INDEX NAME)

/ Structure 101 in file .gra /

RN      667870-16-8      CAPLUS
CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-(2-
methylpropyl)-      (CA INDEX NAME)

/ Structure 102 in file .gra /

RN      667870-17-9      CAPLUS
CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-propyl-
(CA INDEX NAME)

/ Structure 103 in file .gra /

RN      667870-18-0      CAPLUS
CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-
[(tetrahydro-2-furanyl)methyl]-      (CA INDEX NAME)

/ Structure 104 in file .gra /

RN      667870-19-1      CAPLUS
CN      4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-cyclopenten-1-ylmethyl)-1-(1-
ethylpropyl)-1,5-dihydro-      (CA INDEX NAME)

/ Structure 105 in file .gra /

RN      667870-20-4      CAPLUS

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CN 1H-Pyrazolo[3,4-d]pyrimidine-6-butanoic acid, 1-(1-ethylpropyl)-4,5-dihydro-4-oxo-, ethyl ester (CA INDEX NAME)

/ Structure 106 in file .gra /

RN 667870-21-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine-6-propanoic acid, 1-(1-ethylpropyl)-4,5-dihydro-4-oxo-, ethyl ester (CA INDEX NAME)

/ Structure 107 in file .gra /

RN 667870-23-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylpropyl)-1,5-dihydro-6-[(4-methylcyclohexyl)methyl]- (CA INDEX NAME)

/ Structure 108 in file .gra /

RN 667870-28-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(cyclopentylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 109 in file .gra /

GI

/ Structure 110 in file .gra /

AB Title compds. [I; R1 = OH, (substituted) alkyl, alkoxy, CO2R5, CONR6R7; R5 = alkyl; R6, R7 = H, aryl, alkyl; NR6R7 = 4-10 membered heterocycle; R2 = H, alkyl, alkoxy; R3 = H, alkyl; R4 = pentan-3-yl, C4-6 cycloalkyl; X = O, S], were prepd. Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (prepn. given), Me cyclohexylacetate, and NaH were refluxed 18 h in EtOH to give 31% 6-cyclohexylmethyl-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one. The latter inhibited PDE9A with IC50 = 5 nM.

L11 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:107116 CAPLUS <<LOGINID::20080819>>

DOCUMENT NUMBER: 136:145267

TITLE: Selective \*\*\*phosphodiesterase\*\*\* 2 inhibitors used as medicaments for improving cognition

INVENTOR(S): Boss, Frank-Gerhard; Hendrix, Martin; Konig, Gerhard; Niewohner, Ulrich; Schlemmer, Karl-Heinz; Schreiber, Rudy; Van Der Staay, Franz-Josef; Schauss, Dagmar

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009713	A2	20020207	WO 2001-EP8609	20010719
WO 2002009713	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10122893	A1	20020321	DE 2001-10122893	20010511
CA 2417631	A1	20030129	CA 2001-2417631	20010719
EP 1307201	A2	20030507	EP 2001-969511	20010719
EP 1307201	B1	20041124		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004505054	T	20040219	JP 2002-515266	20010719
ES 2233685	T3	20050616	ES 2001-969511	20010719
US 20020132754	A1	20020919	US 2001-911277	20010723
US 7022709	B2	20060404		
PRIORITY APPLN. INFO.:			DE 2000-10037411	A 20000801
			DE 2001-10122893	A 20010511
			WO 2001-EP8609	W 20010719

OTHER SOURCE(S): MARPAT 136:145267

IT \*\*\*213324-52-8\*\*\*

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective \*\*\*phosphodiesterase\*\*\* 2 inhibitors for improving cognition)

RN 213324-52-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-3-methyl- (CA INDEX NAME)

/ Structure 111 in file .gra /

AB The invention discloses the use of selective \*\*\*phosphodiesterase\*\*\* 2 inhibitors for producing medicaments to improve cognition, powers of concn., learning capability, and/or memory retention.

L11 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:621218 CAPLUS <<LOGINID::20080819>>

DOCUMENT NUMBER: 129:260471

ORIGINAL REFERENCE NO.: 129:53085a,53088a

TITLE: Preparation of pyrazolo[3,4-d]pyrimidinones as \*\*\*phosphodiesterase\*\*\* inhibitors

INVENTOR(S): Haning, Helmut; Niewohner, Ulrich; Rosentreter, Ulrich; Schenke, Thomas; Keldenich, Jorg; Bischoff, Erwin; Schlemmer, Karl-Heinz; Schutz, Helmuth; Thomas, Gunter

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9840384	A1	19980917	WO 1998-EP1086	19980226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19709877	A1	19980917	DE 1997-19709877	19970311
CA 2283211	A1	19980917	CA 1998-2283211	19980226
AU 9868240	A	19980929	AU 1998-68240	19980226
AU 727615	B2	20001214		
EP 973774	A1	20000126	EP 1998-913595	19980226
EP 973774	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9807995	A	20000308	BR 1998-7995	19980226
NZ 337724	A	20000825	NZ 1998-337724	19980226
HU 2000001805	A2	20001128	HU 2000-1805	19980226
HU 2000001805	A3	20020930		
JP 2001514638	T	20010911	JP 1998-539135	19980226
AT 231509	T	20030215	AT 1998-913595	19980226
ES 2191294	T3	20030901	ES 1998-913595	19980226
RU 2219180	C2	20031220	RU 1999-121518	19980226
US 6174884	B1	20010116	US 1999-367538	19990816
MX 9908179	A	20000228	MX 1999-8179	19990906
HK 1028035	A1	20050318	HK 2000-107378	20001117
PRIORITY APPLN. INFO.:			DE 1997-19709877	A 19970311
			WO 1998-EP1086	W 19980226

OTHER SOURCE(S): MARPAT 129:260471

IT	***213324-17-5P***	***213324-18-6P***	***213324-19-7P***
	***213324-20-0P***	***213324-21-1P***	***213324-22-2P***
	***213324-23-3P***	***213324-24-4P***	***213324-25-5P***
	***213324-26-6P***	***213324-27-7P***	***213324-28-8P***
	***213324-29-9P***	***213324-30-2P***	***213324-31-3P***
	***213324-32-4P***	***213324-33-5P***	***213324-34-6P***
	***213324-35-7P***	***213324-36-8P***	***213324-37-9P***
	***213324-38-0P***	***213324-39-1P***	***213324-40-4P***
	***213324-41-5P***	***213324-42-6P***	***213324-43-7P***
	***213324-44-8P***	***213324-45-9P***	***213324-46-0P***
	***213324-47-1P***	***213324-48-2P***	***213324-49-3P***
	***213324-50-6P***	***213324-51-7P***	***213324-52-8P***
	***213324-53-9P***	***213324-54-0P***	***213324-55-1P***
	***213324-56-2P***	***213324-57-3P***	***213324-58-4P***
	***213324-59-5P***	***213324-60-8P***	***213324-61-9P***
	***213324-62-0P***	***213324-63-1P***	***213324-64-2P***
	***213324-65-3P***	***213324-66-4P***	***213324-67-5P***
	***213324-68-6P***	***213324-69-7P***	***213324-70-0P***
	***213324-71-1P***	***213324-72-2P***	***213324-73-3P***
	***213324-74-4P***	***213324-75-5P***	***213324-76-6P***



***213324-77-7P***	***213324-78-8P***	***213324-79-9P***
***213324-80-2P***	***213324-81-3P***	***213324-82-4P***
***213324-83-5P***	***213324-84-6P***	***213324-85-7P***
***213324-86-8P***	***213324-87-9P***	***213324-88-0P***
***213324-89-1P***	***213324-90-4P***	***213324-91-5P***
***213324-92-6P***	***213324-93-7P***	***213324-94-8P***
***213324-95-9P***	***213324-96-0P***	***213324-97-1P***
***213324-98-2P***	***213324-99-3P***	***213325-00-9P***

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazolo[3,4-d]pyrimidinones as \*\*\*phosphodiesterase\*\*\* inhibitors)

RN 213324-17-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-(1-methyl-4-phenylbutyl)-6-(phenylmethyl)- (CA INDEX NAME)

/ Structure 112 in file .gra /

RN 213324-18-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-(1-methyl-4-phenylbutyl)-6-[(4-methylphenyl)methyl]- (CA INDEX NAME)

/ Structure 113 in file .gra /

RN 213324-19-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-fluorophenyl)methyl]-1,5-dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 114 in file .gra /

RN 213324-20-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-[(4-methoxyphenyl)methyl]-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 115 in file .gra /

RN 213324-21-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-([1,1'-biphenyl]-4-ylmethyl)-1,5-dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 116 in file .gra /

RN 213324-22-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-bromophenyl)methyl]-1,5-dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 117 in file .gra /

RN 213324-23-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-chlorophenyl)methyl]-1,5-dihydro-

1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 118 in file .gra /

RN 213324-24-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-[(3-methoxyphenyl)methyl]-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 119 in file .gra /

RN 213324-25-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 120 in file .gra /

RN 213324-26-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 121 in file .gra /

RN 213324-27-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-bromophenyl)methyl]-3-ethyl-1,5-dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 122 in file .gra /

RN 213324-28-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3'-amino[1,1'-biphenyl]-4-yl)methyl]-3-ethyl-1,5-dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 123 in file .gra /

RN 213324-29-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-6-(phenylmethyl)- (CA INDEX NAME)

/ Structure 124 in file .gra /

RN 213324-30-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 125 in file .gra /

RN 213324-31-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 126 in file .gra /

RN 213324-32-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-6-[(4-methylphenyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 127 in file .gra /

RN 213324-33-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-6-[(4-methylphenyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 128 in file .gra /

RN 213324-34-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]- (CA INDEX NAME)

/ Structure 129 in file .gra /

RN 213324-35-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-fluorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 130 in file .gra /

RN 213324-36-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-fluorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 131 in file .gra /

RN 213324-37-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-chlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 132 in file .gra /

RN 213324-38-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-chlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 133 in file .gra /

RN 213324-39-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-6-[(3-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 134 in file .gra /

RN 213324-40-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-6-[(3-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 135 in file .gra /

RN 213324-41-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-([1,1'-biphenyl]-4-ylmethyl)-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 136 in file .gra /

RN 213324-42-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-([1,1'-biphenyl]-4-ylmethyl)-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 137 in file .gra /

RN 213324-43-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-6-[(4-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 138 in file .gra /

RN 213324-44-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-6-[(4-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

NAME)

Relative stereochemistry.

/ Structure 139 in file .gra /

RN 213324-45-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-bromophenyl)methyl]-1,5-dihydro-1-  
[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 140 in file .gra /

RN 213324-46-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-bromophenyl)methyl]-1,5-dihydro-1-  
[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 141 in file .gra /

RN 213324-47-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[1-(1-hydroxyethyl)-4-  
phenylbutyl]-6-(hydroxyphenylmethyl)- (CA INDEX NAME)

/ Structure 142 in file .gra /

RN 213324-48-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[(1R)-1-[(1R)-1-  
hydroxyethyl]-4-phenylbutyl]-3-methyl-6-(phenylmethyl)-, rel- (CA INDEX  
NAME)

Relative stereochemistry.

/ Structure 143 in file .gra /

RN 213324-49-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[(1R)-1-[(1S)-1-  
hydroxyethyl]-4-phenylbutyl]-3-methyl-6-(phenylmethyl)-, rel- (CA INDEX  
NAME)

Relative stereochemistry.

/ Structure 144 in file .gra /

RN 213324-50-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dichlorophenyl)methyl]-1,5-  
dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-3-methyl-, rel-  
(CA INDEX NAME)

Relative stereochemistry.

/ Structure 145 in file .gra /

RN 213324-51-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 146 in file .gra /

RN 213324-52-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-3-methyl- (CA INDEX NAME)

/ Structure 147 in file .gra /

RN 213324-53-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-acetyl-4-phenylbutyl)-1,5-dihydro-6-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 148 in file .gra /

RN 213324-54-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-acetyl-4-phenylbutyl)-6-([1,1'-biphenyl]-4-ylmethyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 149 in file .gra /

RN 213324-55-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-acetyl-4-phenylbutyl)-6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-3-methyl- (CA INDEX NAME)

/ Structure 150 in file .gra /

RN 213324-56-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-6-[[4-(4-morpholinylsulfonyl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 151 in file .gra /

RN 213324-57-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-acetyl-4-phenylbutyl)-1,5-dihydro-6-[[4-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 152 in file .gra /

RN 213324-58-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methoxy-1-(1-methyl-4-phenylbutyl)-6-(phenylmethyl)- (CA INDEX NAME)

/ Structure 153 in file .gra /

RN 213324-59-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine-3,4(2H,5H)-dione, 1-(1-methyl-4-phenylbutyl)-  
6-(phenylmethyl)- (CA INDEX NAME)

/ Structure 154 in file .gra /

RN 213324-60-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1,5-  
dihydro-3-methoxy-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 155 in file .gra /

RN 213324-61-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[1-(1-hydroxyethyl)-4-  
phenylbutyl]-3-methoxy-6-(phenylmethyl)- (CA INDEX NAME)

/ Structure 156 in file .gra /

RN 213324-62-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-1,5-  
dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 157 in file .gra /

RN 213324-63-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 3-ethyl-1,5-dihydro-1-(1-methyl-4-  
phenylbutyl)-6-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 158 in file .gra /

RN 213324-64-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-3-ethyl-  
1,5-dihydro-1-(1-methyl-4-phenylbutyl)- (CA INDEX NAME)

/ Structure 159 in file .gra /

RN 213324-65-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-3-ethyl-  
1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA  
INDEX NAME)

Relative stereochemistry.

/ Structure 160 in file .gra /

RN 213324-66-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-3-ethyl-  
1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA  
INDEX NAME)

Relative stereochemistry.

/ Structure 161 in file .gra /

RN 213324-67-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-3-ethyl-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]- (CA INDEX NAME)

/ Structure 162 in file .gra /

RN 213324-68-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]- (CA INDEX NAME)

/ Structure 163 in file .gra /

RN 213324-69-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-3-methyl- (CA INDEX NAME)

/ Structure 164 in file .gra /

RN 213324-70-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-3-methyl-1-(1-methylheptyl)- (CA INDEX NAME)

/ Structure 165 in file .gra /

RN 213324-71-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-3-ethyl-1,5-dihydro-1-(1-methylheptyl)- (CA INDEX NAME)

/ Structure 166 in file .gra /

RN 213324-72-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-1-(1-ethylheptyl)-1,5-dihydro-3-methyl- (CA INDEX NAME)

/ Structure 167 in file .gra /

RN 213324-73-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1-(1-ethylheptyl)-1,5-dihydro-3-methyl- (CA INDEX NAME)

/ Structure 168 in file .gra /

RN 213324-74-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3-chloro-4-methoxyphenyl)methyl]-1-(1-ethylheptyl)-1,5-dihydro-3-methyl- (CA INDEX NAME)



/ Structure 169 in file .gra /

RN 213324-75-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-aminophenyl)methyl]-1-(1-ethylheptyl)-1,5-dihydro-3-methyl- (CA INDEX NAME)

/ Structure 170 in file .gra /

RN 213324-76-6 CAPLUS

CN Benzoic acid, 3-[[1-(1-ethylheptyl)-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]-, ethyl ester (CA INDEX NAME)

/ Structure 171 in file .gra /

RN 213324-77-7 CAPLUS

CN Benzenesulfonamide, 5-[[1-(1-ethylheptyl)-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]-2-methoxy-N-methyl- (CA INDEX NAME)

/ Structure 172 in file .gra /

RN 213324-78-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1-ethylheptyl)-1,5-dihydro-3-methyl-6-[[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]methyl]- (CA INDEX NAME)

/ Structure 173 in file .gra /

RN 213324-79-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-3-ethyl-1-(1-ethylheptyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 174 in file .gra /

RN 213324-80-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-1-(1-ethylheptyl)-1,5-dihydro- (CA INDEX NAME)

/ Structure 175 in file .gra /

RN 213324-81-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-3-methyl-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 176 in file .gra /

RN 213324-82-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-3-methyl-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 177 in file .gra /

RN 213324-83-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-1-(1-propylheptyl)-  
6-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 178 in file .gra /

RN 213324-84-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-[[4-[(4-methyl-1-  
piperazinyl)sulfonyl]phenyl]methyl]-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 179 in file .gra /

RN 213324-85-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dichlorophenyl)methyl]-3-ethyl-  
1,5-dihydro-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 180 in file .gra /

RN 213324-86-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-bromophenyl)methyl]-3-ethyl-1,5-  
dihydro-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 181 in file .gra /

RN 213324-87-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-3-ethyl-  
1,5-dihydro-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 182 in file .gra /

RN 213324-88-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-3-ethyl-  
1,5-dihydro-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 183 in file .gra /

RN 213324-89-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 3-ethyl-1,5-dihydro-1-(1-propylheptyl)-  
6-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 184 in file .gra /

RN 213324-90-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 3-ethyl-1,5-dihydro-6-[[4-[(4-methyl-1-  
piperazinyl)sulfonyl]phenyl]methyl]-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 185 in file .gra /

RN 213324-91-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-3-propyl-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 186 in file .gra /

RN 213324-92-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-bromophenyl)methyl]-1,5-dihydro-3-propyl-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 187 in file .gra /

RN 213324-93-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-3-propyl-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 188 in file .gra /

RN 213324-94-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-3-propyl-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 189 in file .gra /

RN 213324-95-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-propyl-1-(1-propylheptyl)-6-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 190 in file .gra /

RN 213324-96-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-[[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl)methyl]-3-propyl-1-(1-propylheptyl)- (CA INDEX NAME)

/ Structure 191 in file .gra /

RN 213324-97-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 3-ethyl-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-6-(phenylmethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 192 in file .gra /

RN 213324-98-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 3-ethyl-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-6-(phenylmethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

/ Structure 193 in file .gra /

RN 213324-99-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[(4-aminophenyl)methyl]-3-ethyl-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]- (CA INDEX NAME)

/ Structure 194 in file .gra /

RN 213325-00-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 3-ethyl-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-6-[[4-(4-morpholinylsulfonyl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 195 in file .gra /

GI

/ Structure 196 in file .gra /

AB Title compds. [I; R3 = EL; E = (hydroxy)alk(en)ylene or CO; R4 = NRCHR1TV; L,V = aryl or heterocyclyl; RR5 = N:CR2 or NHCO; R1 = (un)substituted alkyl or acyl; R2 = H, cyano, alkoxy(carbonyl), etc.; T = CH2XY; X = bond, O, S, NH; Y = alkylene] were prepd. Thus, MeCO(CH2)3Ph was condensed with H2NNHCO2CMe3 and the reduced product cyclocondensed with EtOCH:C(CN)2 to give 5-amino-1-(5-phenyl-2-pentyl)-1H-pyrazole-4-carbonitrile which was cyclocondensed with PhCH2COC1 to give title compd. II. Data for biol. activity of I were given.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 1996:664939 CAPLUS <<LOGINID::20080819>>

DOCUMENT NUMBER: 125:301016

ORIGINAL REFERENCE NO.: 125:56346h,56347a

TITLE: 6-Substituted pyrazolo[3,4-d]pyrimidin-4-ones and compositions and methods of use as c-GMP \*\*\*phosphodiesterase\*\*\* inhibitors

INVENTOR(S): Bacon, Edward R.; Daum, Sol J.; Singh, Baldev

PATENT ASSIGNEE(S): Sanofi Winthrop, Inc., USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628429	A1	19960919	WO 1996-US2971	19960305
W: AU, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

US 5656629	A	19970812	US 1995-402268	19950310
CA 2211669	A1	19960919	CA 1996-2211669	19960305
AU 9654188	A	19961002	AU 1996-54188	19960305
AU 708750	B2	19990812		
EP 813527	A1	19971229	EP 1996-911244	19960305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1177960	A	19980401	CN 1996-192462	19960305
HU 9801336	A2	19981028	HU 1998-1336	19960305
HU 9801336	A3	20000728		
JP 11501923	T	19990216	JP 1996-527681	19960305
ZA 9601947	A	19961007	ZA 1996-1947	19960311
US 5977118	A	19991102	US 1997-824600	19970326
NO 9704151	A	19971104	NO 1997-4151	19970909
PRIORITY APPLN. INFO.:			US 1995-402268	A 19950310
			WO 1996-US2971	W 19960305
OTHER SOURCE(S): MARPAT 125:301016				
IT	***182879-50-1P***			
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(prepn. of substituted pyrazolopyrimidinones as c-GMP ***phosphodiesterase*** inhibitors)			
RN	182879-50-1 CAPLUS			
CN	4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1,1-dimethylethyl)-1,5-dihydro-3-methyl-6-(phenylmethyl)- (CA INDEX NAME)			

/ Structure 197 in file .gra /

GI

/ Structure 198 in file .gra /

AB 6-Substituted pyrazolo[3,4-d]pyrimidin-4-one derivs. I [R1 = tert-Bu, cyclopentyl; R3 = Me, Et, PhCH<sub>2</sub>; X = CH<sub>2</sub>, O, NH; R6 = (un)substituted Ph, or (when X = CH<sub>2</sub>) OH or certain specified heterocyclic radicals] and their pharmaceutically acceptable salts or hydrates are claimed. Also claimed are pharmaceutical compns. contg. them, and methods for their use in: (a) effecting c-GMP- \*\*\*phosphodiesterase\*\*\* inhibition, (b) treating heart failure and/or hypertension, (c) reversing or reducing nitrate-induced tolerance, and (d) treating angina pectoris, congestive heart disease, and myocardial infarction. Examples include 39 syntheses and 3 bioassays. For instance, 1-cyclopentyl-3-ethyl-5-amino-1H-pyrazole-4-carbonitrile underwent amidation with PhCH<sub>2</sub>COC1 in pyridine to give 64% intermediate II, which underwent H<sub>2</sub>O<sub>2</sub>-mediated hydrolysis and cyclization in aq. NaOH to give title compd. III. At 1 mg/kg i.v. in spontaneously hypertensive rats, III gave a 15% redn in mean arterial pressure in 5 min. III had an IC<sub>50</sub> of 10 nM for inhibition of c-GMP \*\*\*phosphodiesterase\*\*\* V in vitro.

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	1.32	591.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-6.40

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